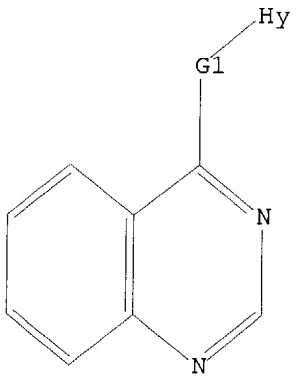
09/913,020
~~09/913,054~~

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 12:56:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24645 TO ITERATE

100.0% PROCESSED 24645 ITERATIONS 513 ANSWERS
SEARCH TIME: 00.00.01

L2 513 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 12:56:49 ON 03 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 2 Sep 2004 (20040902/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

=> s l2
L3 29 L2

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.44	156.07

FILE 'REGISTRY' ENTERED AT 12:57:03 ON 03 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 SEP 2004 HIGHEST RN 737690-81-2
DICTIONARY FILE UPDATES: 1 SEP 2004 HIGHEST RN 737690-81-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	156.49

FILE 'CAPLUS' ENTERED AT 12:57:23 ON 03 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 3 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 2 Sep 2004 (20040902/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L4 29 L2

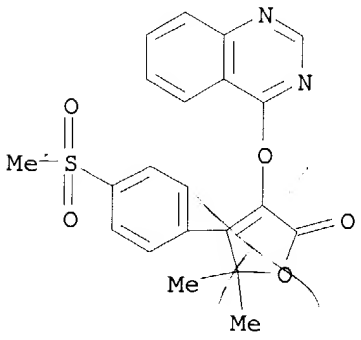
=> d l3 1-29 ibib abs hitstr

L3 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:303493 CAPLUS
DOCUMENT NUMBER: 141:16893
TITLE: Quantitative structure activity relationship studies of diaryl furanones as selective COX-2 inhibitors
AUTHOR(S): Shahapurkar, S.; Pandya, T.; Kawathekar, N.; Chaturvedi, S. C.
CORPORATE SOURCE: School of Pharmacy, Indore, India
SOURCE: European Journal of Medicinal Chemistry (2004), 39(4), 383-388
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selective COX-2 inhibitors have attracted much attention in recent times in the design of non-steroidal anti-inflammatory agents (NSAID), which are devoid of the common side effects of classical NSAIDs. QSAR studies have been performed on a series of diaryl furanones that acts as selective COX-2 inhibitor using Mol. Operating Environment (MOE). The studies were carried out on 43 analogs. These studies produced good predictive models and give statistically significant correlations of selective COX-2 inhibitory with phys. property, connectivity and conformation of mol. Also when available COX-1 inhibitory data was analyzed with descriptors obtained from MOE, partial charge descriptor, van der Waal's surface area and solvation energy gave statistically significant results.

IT **189955-00-8**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quant. structure activity relationship studies of diaryl furanones as selective COX-2 inhibitors)

RN 189955-00-8 CAPLUS
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

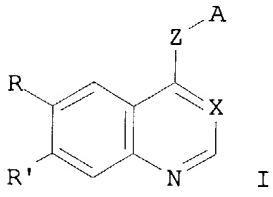
L3 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:182845 CAPLUS
DOCUMENT NUMBER: 140:217519
TITLE: Preparation of quinoline derivatives as TGFβ inhibitors
INVENTOR(S): Shimizu, Kiyoshi; Shimizu, Toshiyuki; Kimura, Kaname; Kawakami, Kazuki; Nakoji, Masayoshi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 628 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018430	A1	20040304	WO 2003-JP10647	20030822

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-244028 A 20020823
OTHER SOURCE(S): MARPAT 140:217519
GI

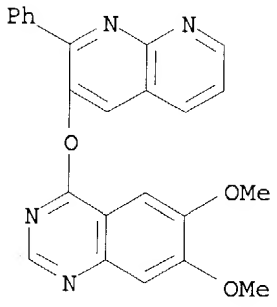


I

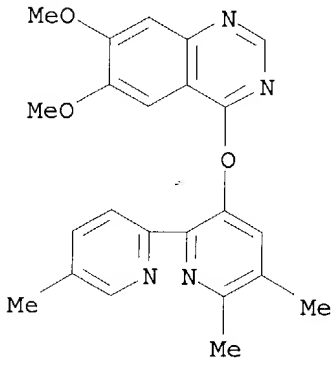
AB The title compds. I [wherein X = CH or N; Z = O, NH, S, or CO; R and R' = independently H, halo, (un)substituted alkyl, alkenyl, NH2, CONH2, OH, or heterocyclyl; A = (un)substituted Ph or (hetero)cyclyl] or pharmaceutically acceptable salts, or solvates thereof are prepared as transforming growth factor (TGF) β inhibitors. For example, 4-chloro-6,7-dimethoxyquinoline was reacted with 2-benzylphenol in 1,2-dichlorobenzene to give 4-(2-benzylphenoxy)-6,7-dimethoxyquinoline (10%). Some of compds. I inhibited 100% of human TGFβ at 10 μM.

IT **666734-03-8P 666734-04-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as TGFβ inhibitors)

RN 666734-03-8 CAPLUS
CN Quinazoline, 6,7-dimethoxy-4-[(2-phenyl-1,8-naphthyridin-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 666734-04-9 CAPLUS
CN Quinazoline, 6,7-dimethoxy-4-[(5,5',6-trimethyl[2,2'-bipyridin]-3-yl)oxy]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:162461 CAPLUS
DOCUMENT NUMBER: 140:217653
TITLE: Preparation of heterocyclic-substituted quinolines/quinazolines and related compounds as Inhibitors of JAK protein kinase
INVENTOR(S): Bemis, Guy W.; Harbeson, Scott L.; Ledeboer, Mark
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 58 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004038992	A1	20040226	US 2003-430805	20030506
WO 2004058753	A1	20040715	WO 2003-US14223	20030506

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,

RW: UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-378185P P 20020506
WO 2003-US14223 A 20030506

OTHER SOURCE(S): MARPAT 140:217653

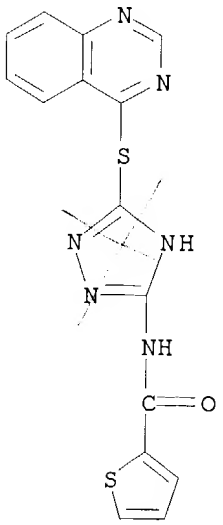
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

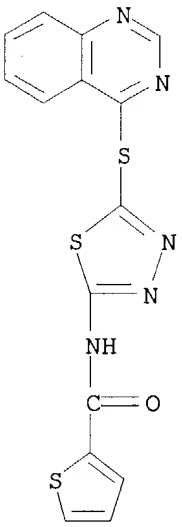
AB Title compds. I [W, X = O, S; A = N, CH, CCN, C-alkyl; R1-2 = taken together form an (un)substituted 3-7 membered (un)saturated (hetero)cycle; Q = bond, CO, carboxamido, etc.; R3 = alkyl, (un)substituted 3-8 membered monocyclic or 8-10 membered bicyclic ring, etc.] are prepared For instance, 5-((7-chloroquinolin-4-yl)oxy)-1,3,4-thiadiazole-2-carboxylic acid N-((furan-2-yl)methyl)amide (II) is prepared from ((furan-2-yl)methyl)amine and the corresponding thiadiazole Et ester (DME, 80°, 18 h). Certain example compds. have IC50 between 2 and 5 μM for JAK kinase. I are useful in the treatment of a neurodegenerative disorder, an autoimmune disorder, etc.

IT **664324-74-7P 664324-81-6P 664325-06-8P**
664325-07-9P 664325-13-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic-substituted quinolines/quinazolines and related compds. as Inhibitors of jak protein kinase)

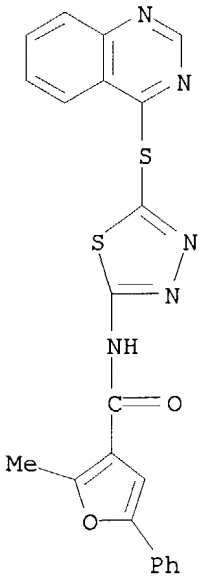
RN 664324-74-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[5-(4-quinazolinylthio)-1H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



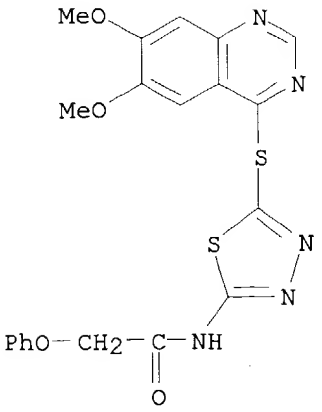
RN 664324-81-6 CAPLUS
CN 2-Thiophenecarboxamide, N-[5-(4-quinazolinylthio)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



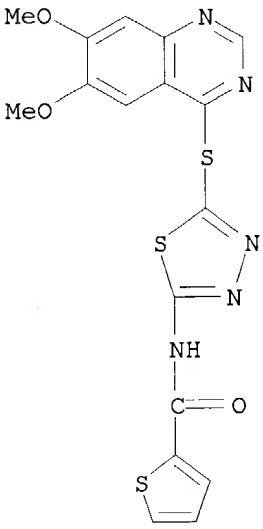
RN 664325-06-8 CAPLUS
CN 3-Furancarboxamide, 2-methyl-5-phenyl-N-[5-(4-quinazolinylthio)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 664325-07-9 CAPLUS
CN Acetamide, N-[5-[(6,7-dimethoxy-4-quinazolinyl)thio]-1,3,4-thiadiazol-2-yl]-2-phenoxy- (9CI) (CA INDEX NAME)



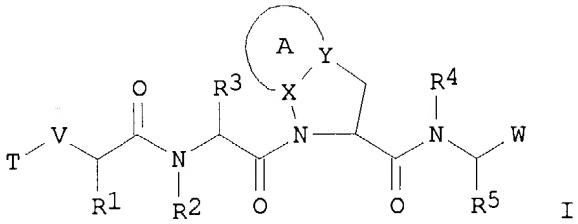
RN 664325-13-7 CAPLUS
CN 2-Thiophenecarboxamide, N-[5-[(6,7-dimethoxy-4-quinazolinyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:837079 CAPLUS
DOCUMENT NUMBER: 139:338195
TITLE: Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease
INVENTOR(S): Pitlik, Janos; Cottrell, Kevin M.; Farmer, Luc J.; Perni, Robert B.; Courtney, Lawrence F.; Van Drie, John H.; Murcko, Mark A.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003087092 A2 20031023 WO 2003-US11459 20030411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004018986 A1 20040129 US 2003-412600 20030411
PRIORITY APPLN. INFO.: US 2002-371846P P 20020411
OTHER SOURCE(S): MARPAT 139:338195
GI



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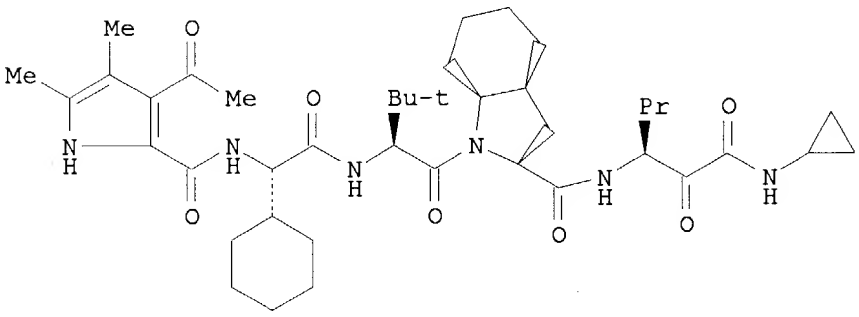


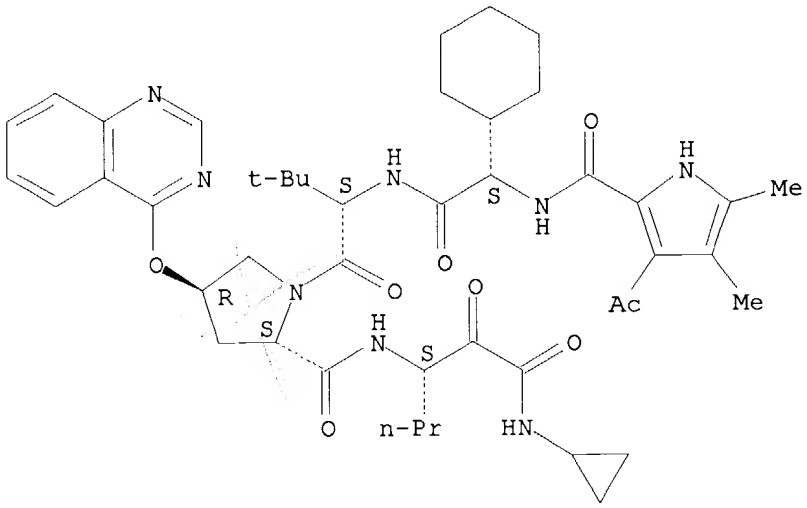
II

AB The invention relates to compds. I [A together with X and Y is a 3- to 6-membered aromatic or non-aromatic ring having up to 3 heteroatoms; R1, R3 are aliphatic, (un)substituted (cyclo)alk(en)yl, (hetero)aryl, etc.; R2, R4 are H, (un)substituted aliphatic, cycloalkyl or aryl aliphatic; R5 is (un)substituted aliphatic; W is COCOR6, COCO2R6, or COCONR62, where R6 is H, aliphatic, (hetero)aryl, etc.; V is CONR8, SONR8, SO2NR8, where R8 is H or aliphatic; T is (hetero)aryl, aliphatic, sulfonylaminoalkyl, etc.] that inhibit serine protease activity, particularly the activity of hepatitis C virus NS3-NS4A protease. Thus, peptide II was prepared via coupling reactions in solution and showed Ki and IC50 values < 0.5 μM.

IT **615584-04-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease)

RN 615584-04-8 CAPLUS
CN L-Prolinamide, 3-acetyl-2,3,4,5-tetradehydro-4,5-dimethylprolyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]-4-(4-quinazolinyloxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

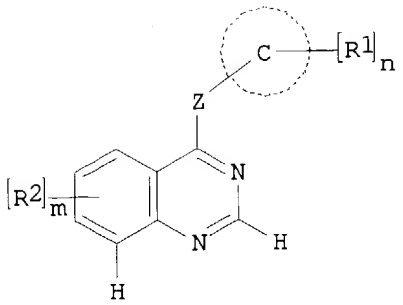


L3 ANSWER 5 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:610442 CAPLUS
DOCUMENT NUMBER: 139:164806
TITLE: Preparation of quinazolines as VEGF receptor inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064413	A1	20030807	WO 2003-GB343	20030128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-290242 A 20020201
OTHER SOURCE(S): CASREACT 139:164806; MARPAT 139:164806
GI



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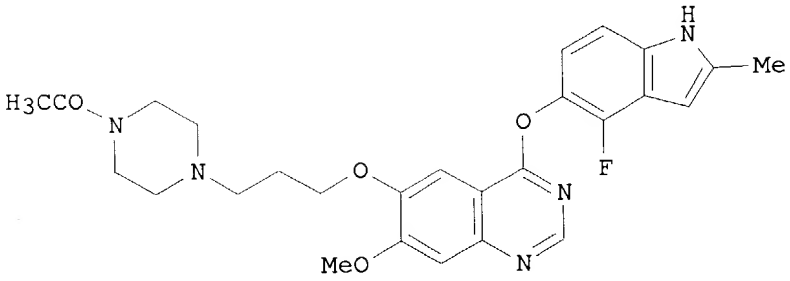


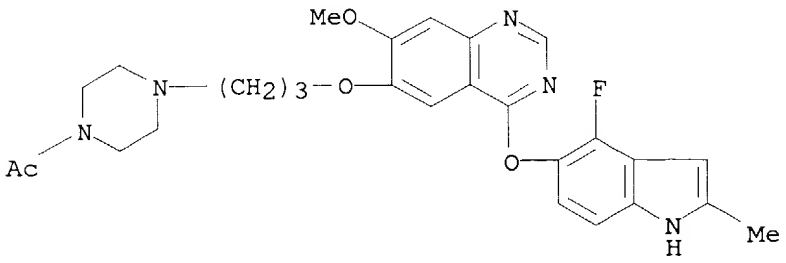
II

AB The title compds. [I; ring C = indolyl, indazolyl or azaindolyl; Z = O, NH, S; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.; R1 = H, halo, oxo, OH, etc.], useful in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no biol. data).

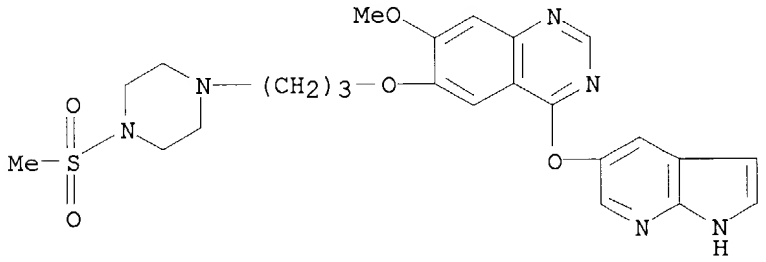
IT **574745-14-5P 574745-15-6P 574745-16-7P**
574745-17-8P 574745-18-9P 574745-19-0P
574745-20-3P 574745-21-4P 574745-22-5P
574745-23-6P 574745-24-7P 574745-25-8P
574745-26-9P 574745-27-0P 574745-28-1P
574745-29-2P 574745-30-5P 574745-31-6P
574745-32-7P 574745-33-8P 574745-34-9P
574745-35-0P 574745-36-1P 574745-37-2P
574745-38-3P 574745-39-4P 574745-40-7P
574745-41-8P 574745-42-9P 574745-43-0P
574745-44-1P 574745-45-2P 574745-46-3P
574745-47-4P 574745-48-5P 574745-49-6P
574745-50-9P 574745-51-0P 574745-52-1P
574745-53-2P 574745-54-3P 574745-55-4P
574745-56-5P 574745-57-6P 574745-58-7P
574745-59-8P 574745-61-2P 574745-62-3P
574745-63-4P 574745-64-5P 574745-65-6P
574745-66-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as VEGF inhibitors)

RN 574745-14-5 CAPLUS

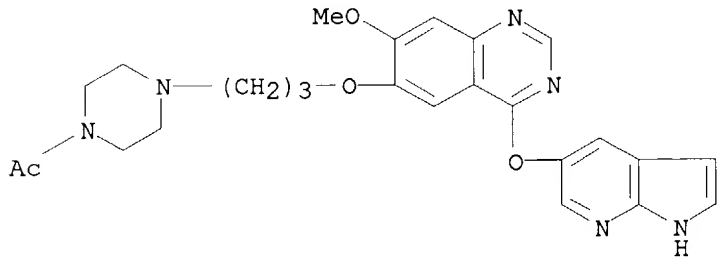
CN Piperazine, 1-acetyl-4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



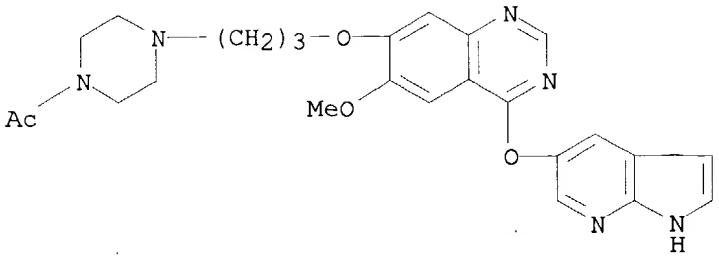
RN 574745-15-6 CAPLUS
CN Piperazine, 1-[3-[[7-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-6-quinazolinyl]oxy]propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



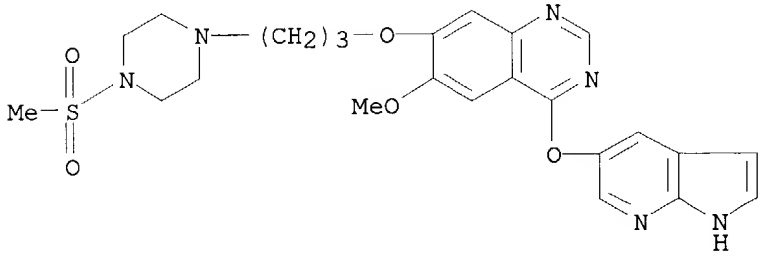
RN 574745-16-7 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[7-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-6-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



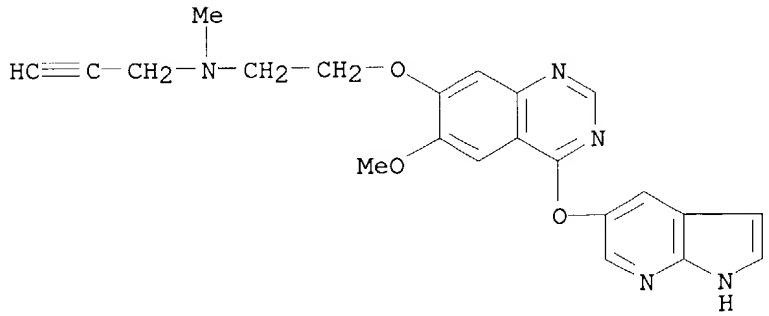
RN 574745-17-8 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



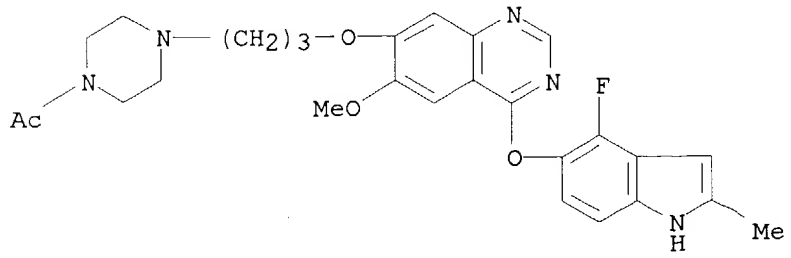
RN 574745-18-9 CAPLUS
CN Piperazine, 1-[3-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



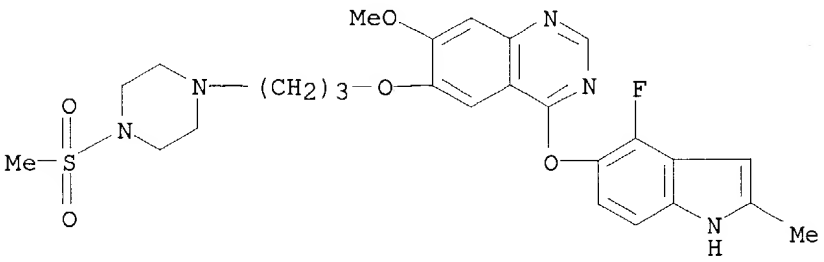
RN 574745-19-0 CAPLUS
CN 2-Propyn-1-amine, N-[2-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



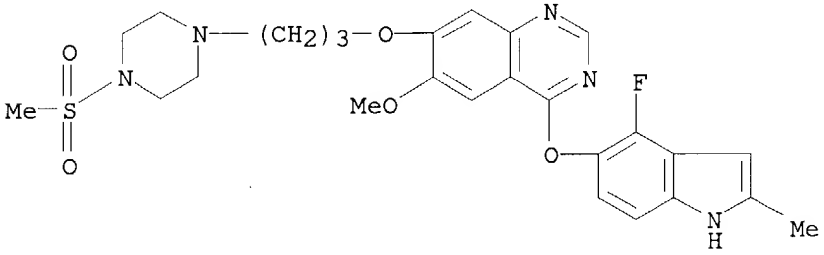
RN 574745-20-3 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



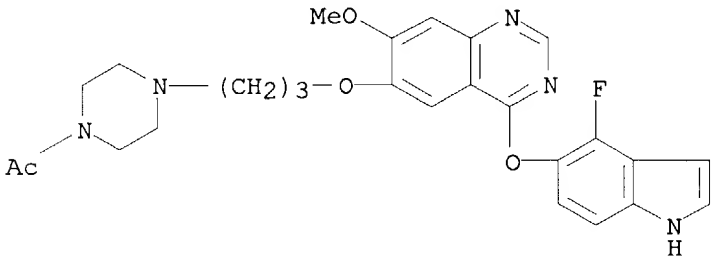
RN 574745-21-4 CAPLUS
CN Piperazine, 1-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



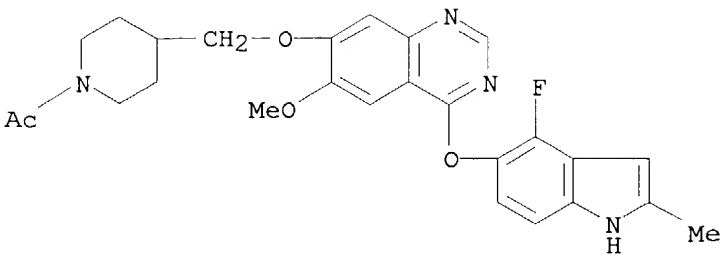
RN 574745-22-5 CAPLUS
CN Piperazine, 1-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 574745-23-6 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

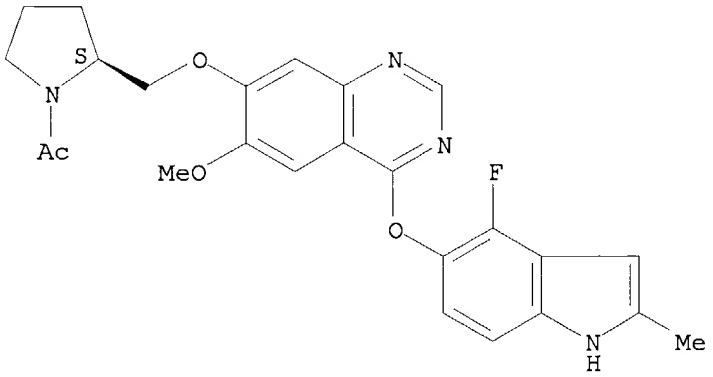


RN 574745-24-7 CAPLUS
CN Piperidine, 1-acetyl-4-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



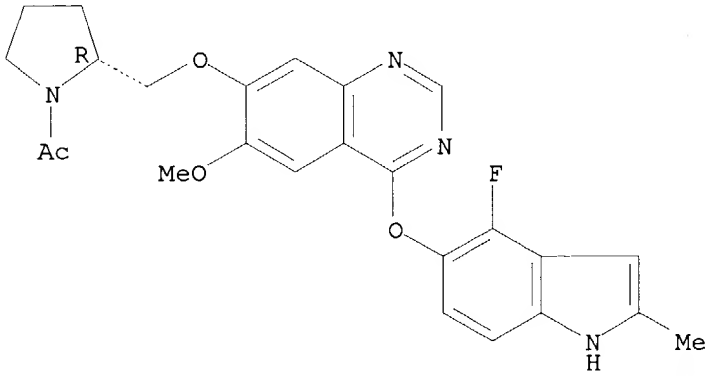
RN 574745-25-8 CAPLUS
CN Pyrrolidine, 1-acetyl-2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

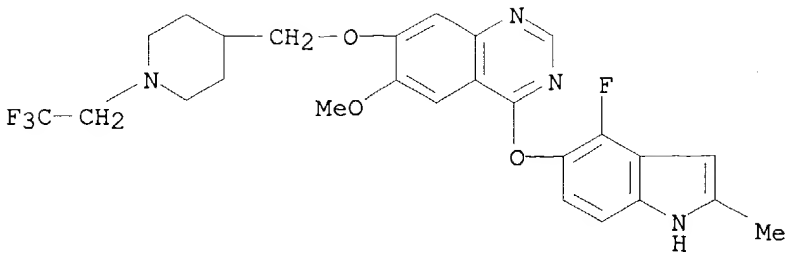


RN 574745-26-9 CAPLUS
CN Pyrrolidine, 1-acetyl-2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (2R)- (9CI) (CA INDEX NAME)

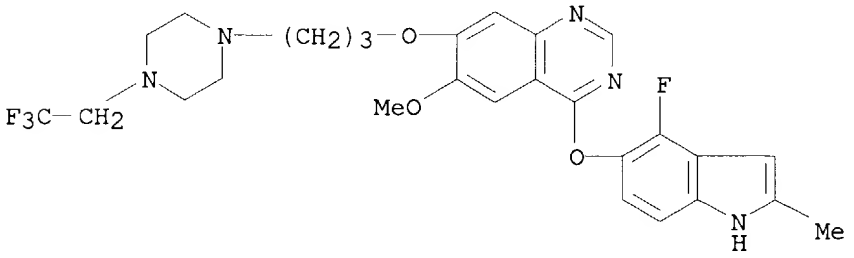
Absolute stereochemistry.



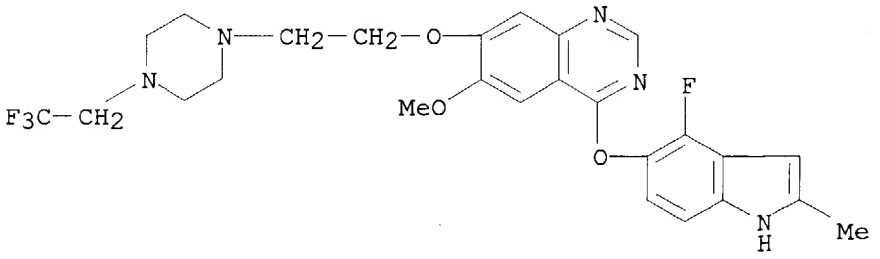
RN 574745-27-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[[1-(2,2,2-trifluoroethyl)-4-piperidinyl]methoxy]- (9CI) (CA INDEX NAME)



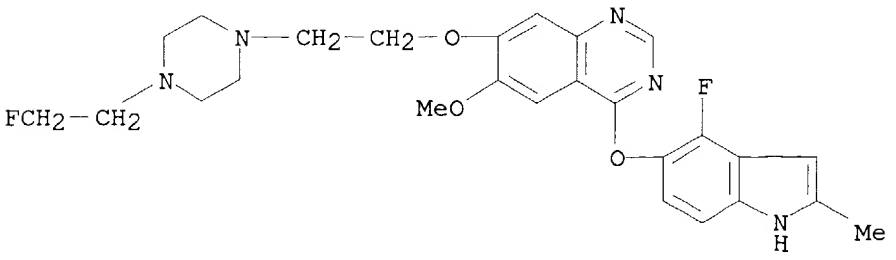
RN 574745-28-1 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-[4-(2,2,2-trifluoroethyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



RN 574745-29-2 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[2-[4-(2,2,2-trifluoroethyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

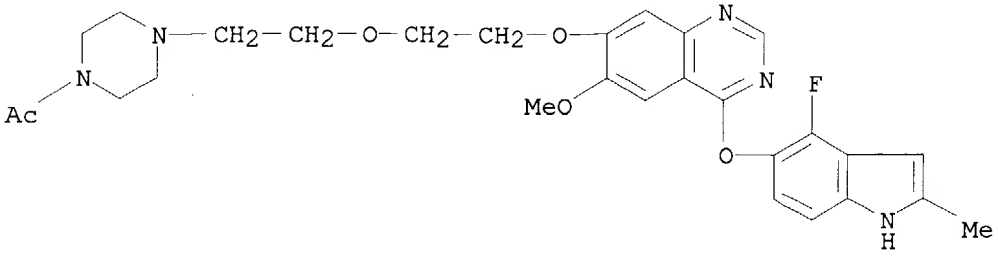


RN 574745-30-5 CAPLUS
CN Quinazoline, 7-[2-[4-(2-fluoroethyl)-1-piperazinyl]ethoxy]-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)

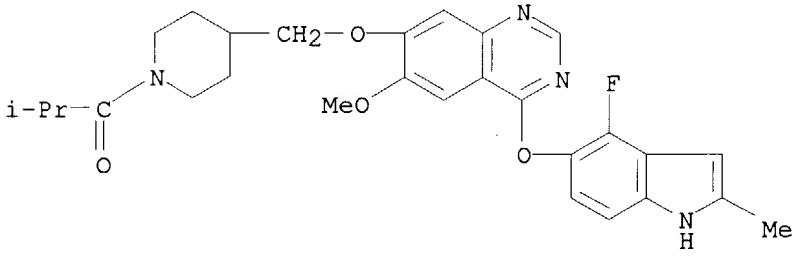


RN 574745-31-6 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[2-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

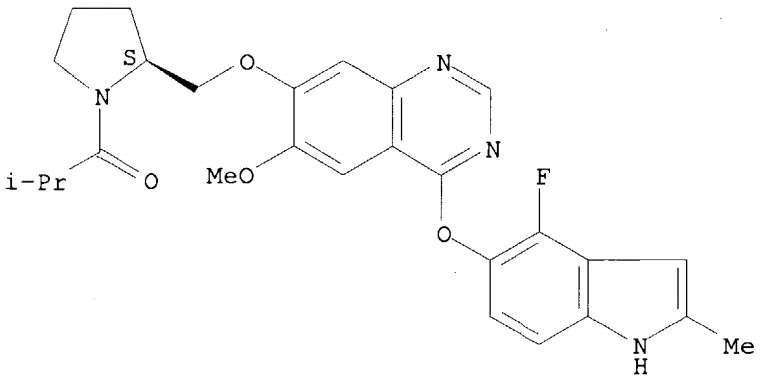


RN 574745-32-7 CAPLUS
CN Piperidine, 4-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



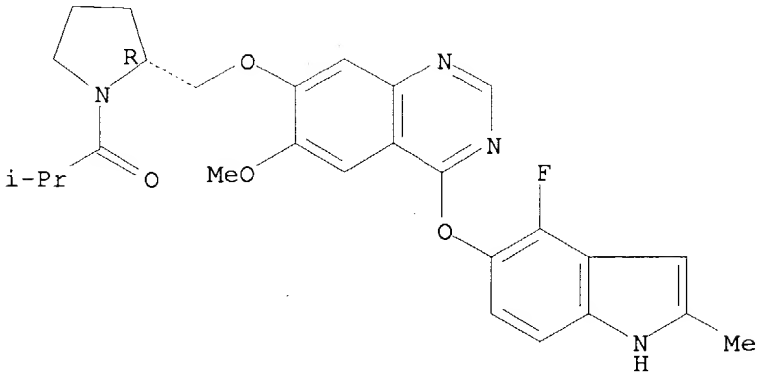
RN 574745-33-8 CAPLUS
CN Pyrrolidine, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(2-methyl-1-oxopropyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

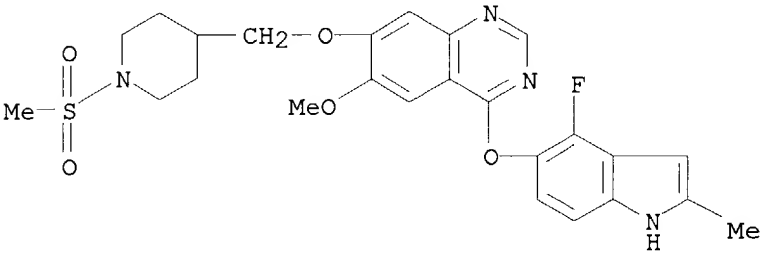


RN 574745-34-9 CAPLUS
CN Pyrrolidine, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(2-methyl-1-oxopropyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

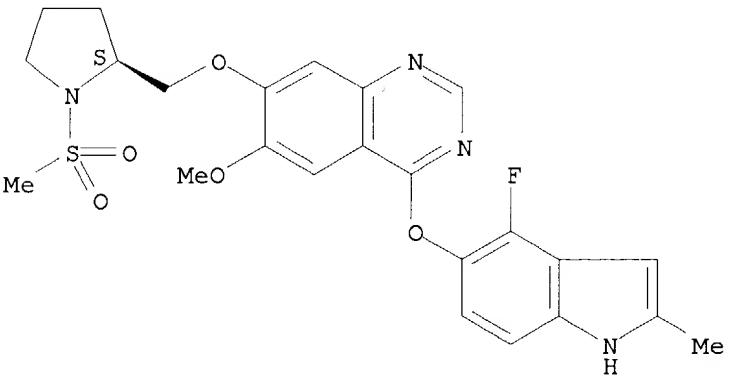


RN 574745-35-0 CAPLUS
CN Piperidine, 4-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



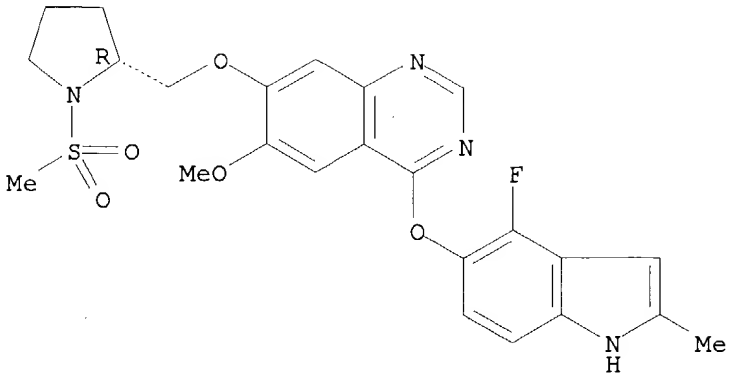
RN 574745-36-1 CAPLUS
CN Pyrrolidine, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

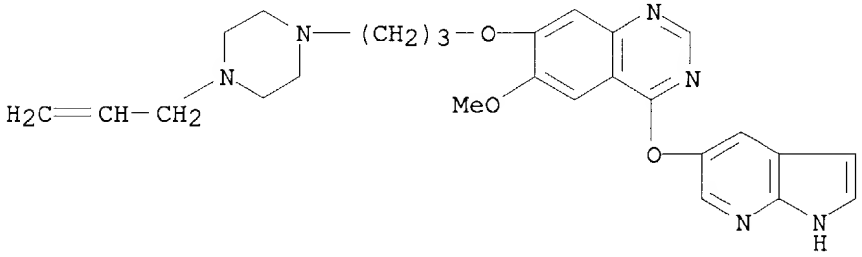


RN 574745-37-2 CAPLUS
CN Pyrrolidine, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-1-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

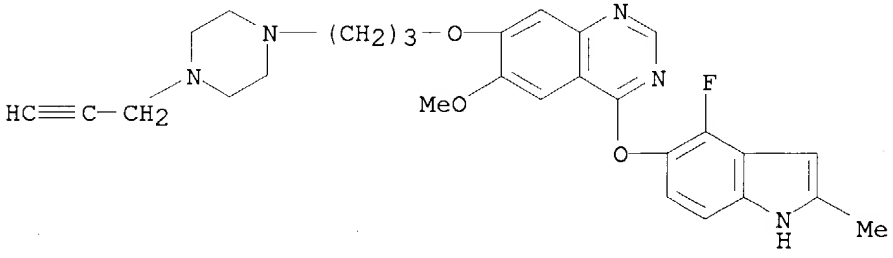
Absolute stereochemistry.



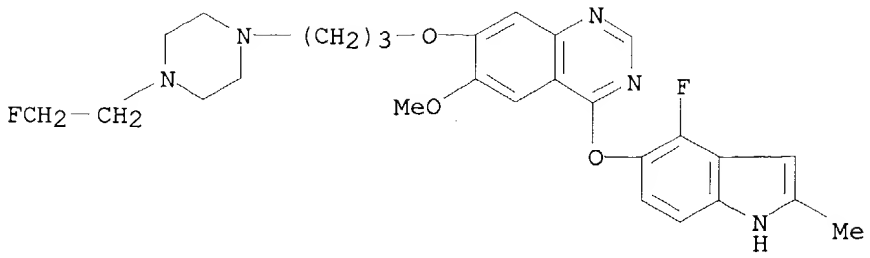
RN 574745-38-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-[4-(2-propenyl)-1-piperazinyl]propoxy]-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)



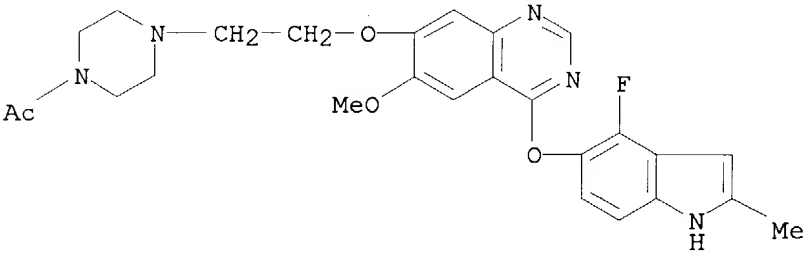
RN 574745-39-4 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]- (9CI) (CA INDEX NAME)



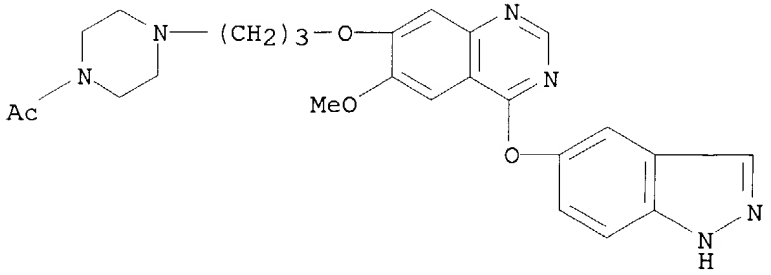
RN 574745-40-7 CAPLUS
CN Quinazoline, 7-[3-[4-(2-fluoroethyl)-1-piperazinyl]propoxy]-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)



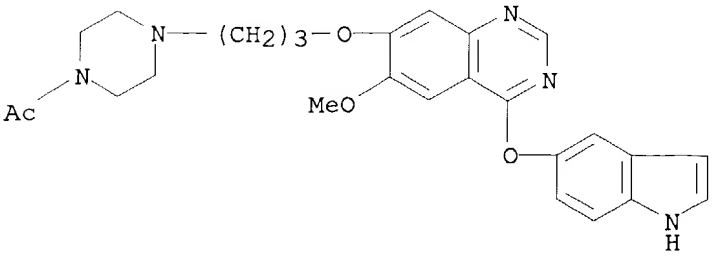
RN 574745-41-8 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 574745-42-9 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-(1H-indazol-5-yloxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

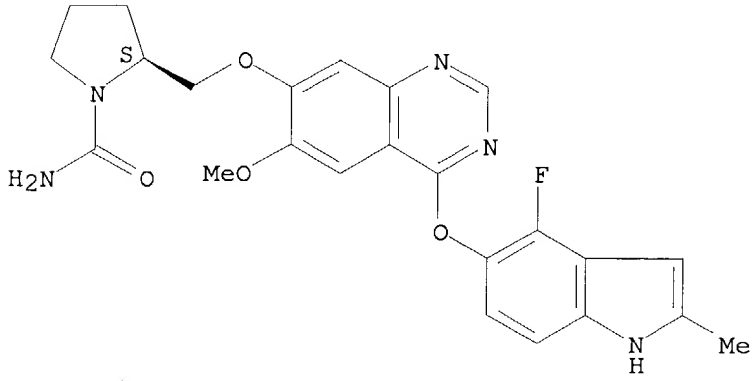


RN 574745-43-0 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-(1H-indol-5-yloxy)-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

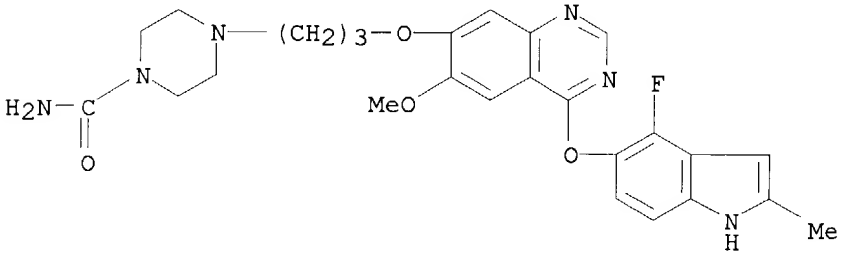


RN 574745-44-1 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (2S)- (9CI) (CA INDEX NAME)

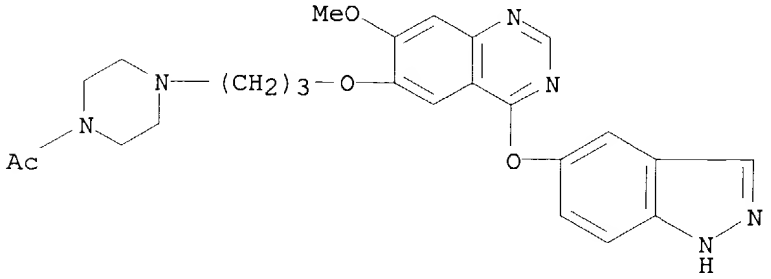
Absolute stereochemistry.



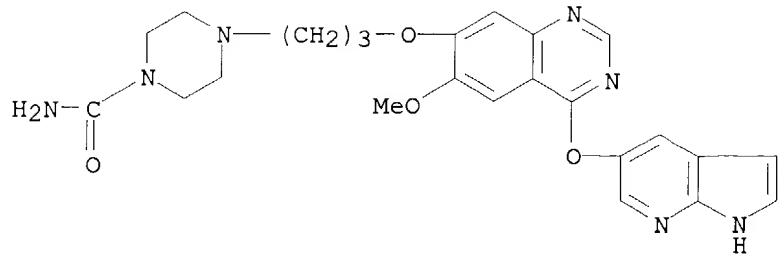
RN 574745-45-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



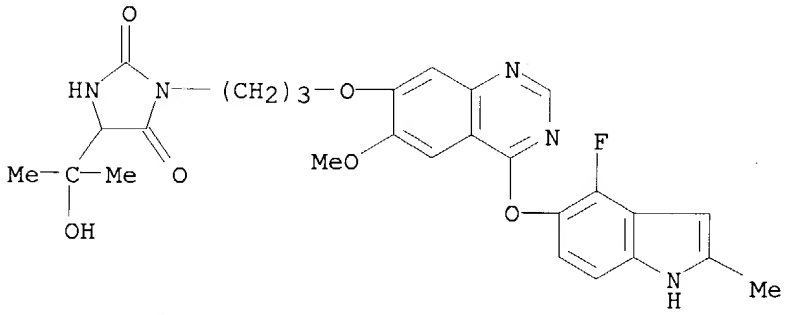
RN 574745-46-3 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-(1H-indazol-5-yloxy)-7-methoxy-6-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



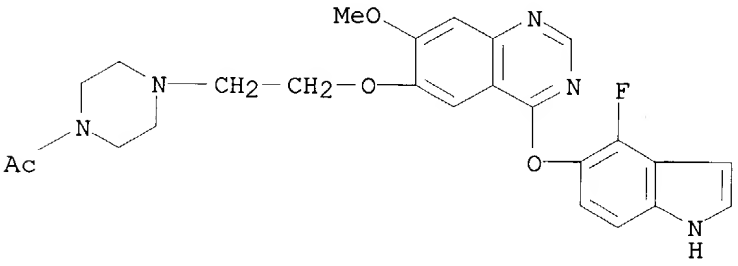
RN 574745-47-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



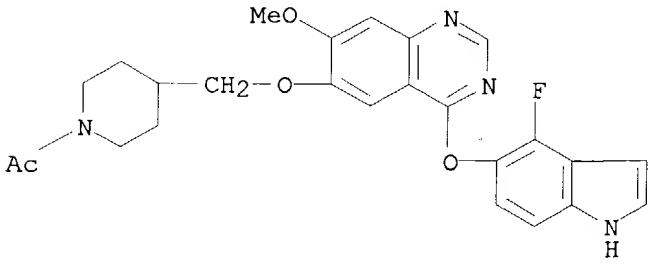
RN 574745-48-5 CAPLUS
CN 2,4-Imidazolidinedione, 3-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]-5-(1-hydroxy-1-methylethyl)- (9CI) (CA INDEX NAME)



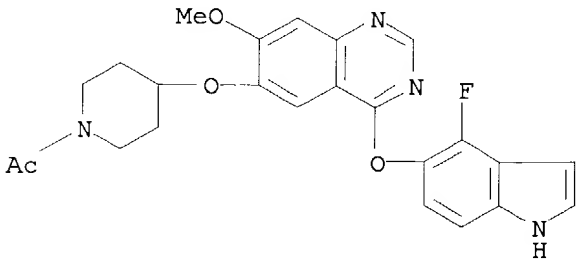
RN 574745-49-6 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



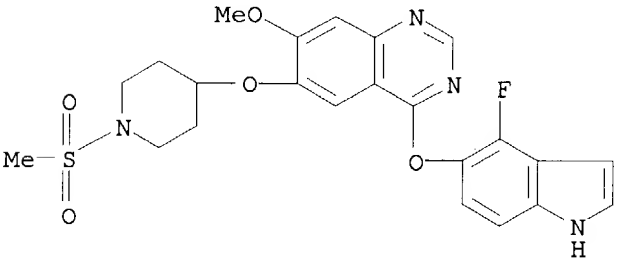
RN 574745-50-9 CAPLUS
CN Piperidine, 1-acetyl-4-[[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



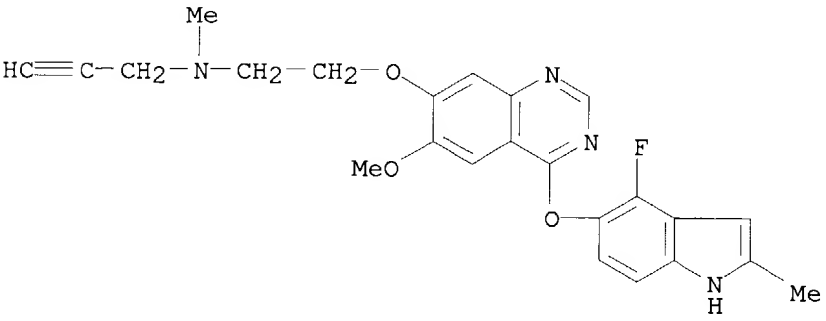
RN 574745-51-0 CAPLUS
CN Piperidine, 1-acetyl-4-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)



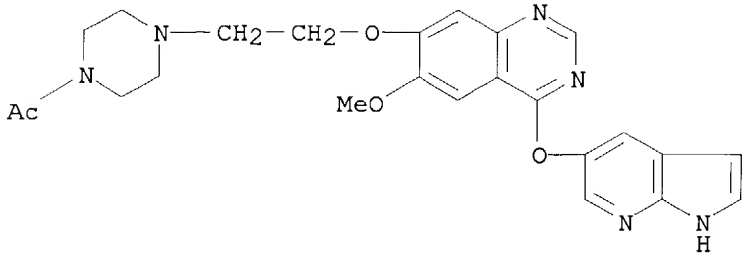
RN 574745-52-1 CAPLUS
CN Piperidine, 4-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)



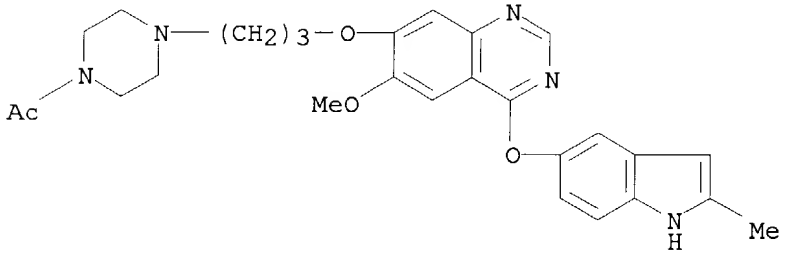
RN 574745-53-2 CAPLUS
CN 2-Propyn-1-amine, N-[2-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



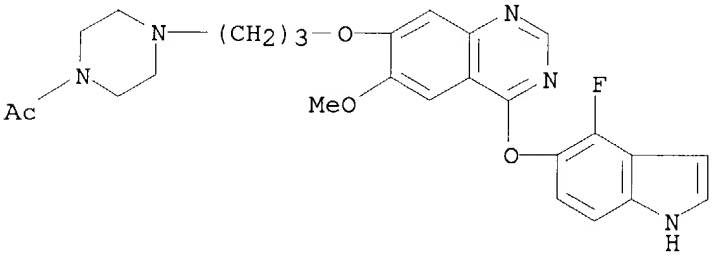
RN 574745-54-3 CAPLUS
CN Piperazine, 1-acetyl-4-[2-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



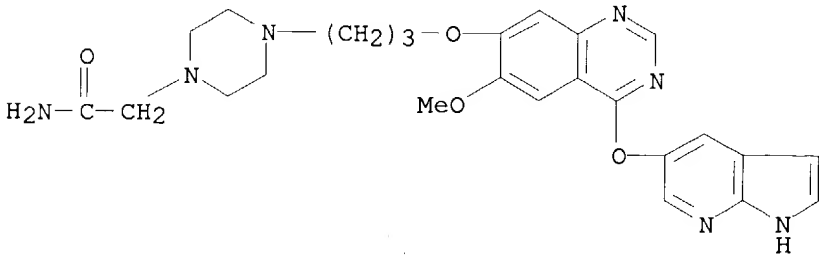
RN 574745-55-4 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 574745-56-5 CAPLUS
CN Piperazine, 1-acetyl-4-[3-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

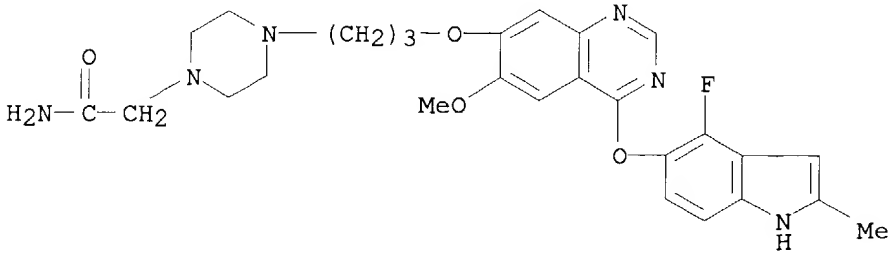


RN 574745-57-6 CAPLUS
CN 1-Piperazineacetamide, 4-[3-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

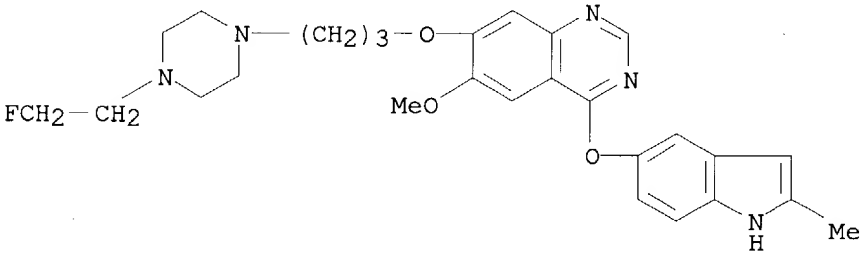


RN 574745-58-7 CAPLUS

CN 1-Piperazineacetamide, 4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)

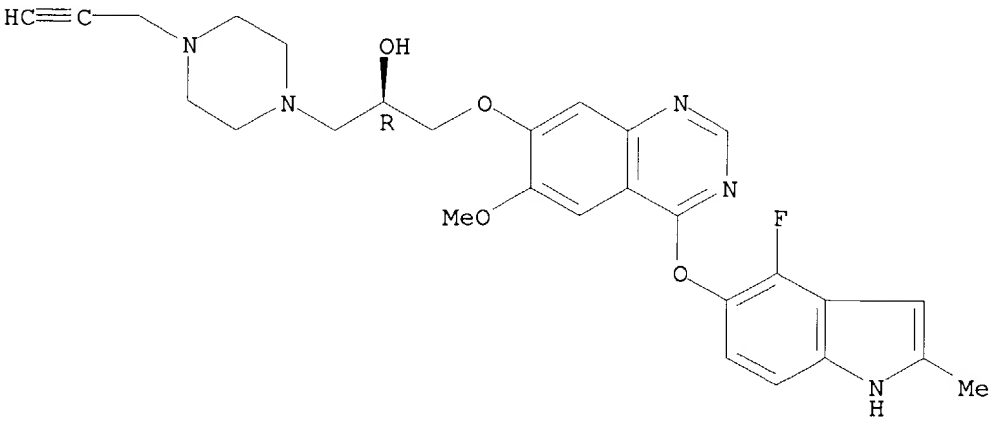


RN 574745-59-8 CAPLUS
CN Quinazoline, 7-[3-[4-(2-fluoroethyl)-1-piperazinyl]propoxy]-6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)

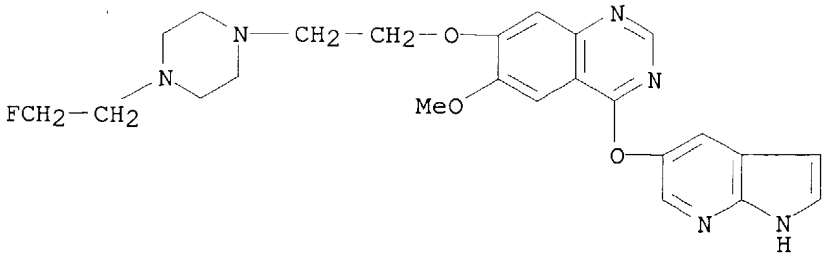


RN 574745-61-2 CAPLUS
CN 1-Piperazineethanol, α-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-4-(2-propynyl)-, (αR)- (9CI) (CA INDEX NAME)

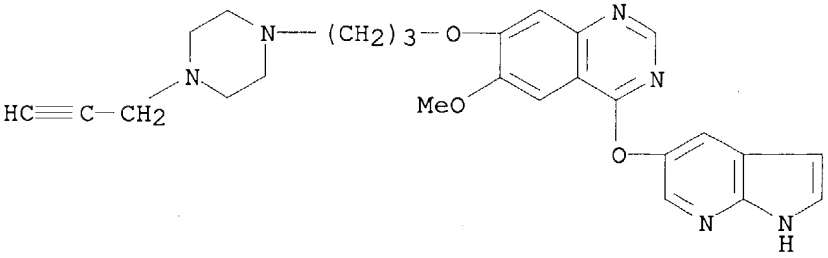
Absolute stereochemistry.



RN 574745-62-3 CAPLUS
CN Quinazoline, 7-[2-[4-(2-fluoroethyl)-1-piperazinyl]ethoxy]-6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)

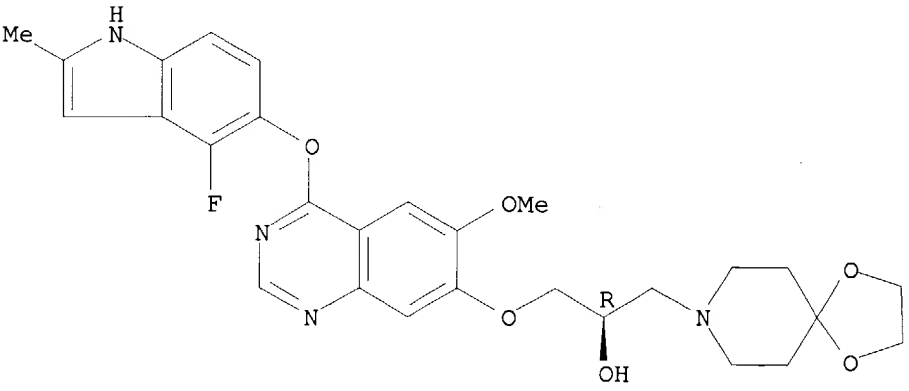


RN 574745-63-4 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-[4-(2-propynyl)-1-piperazinyl]propoxy]-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)



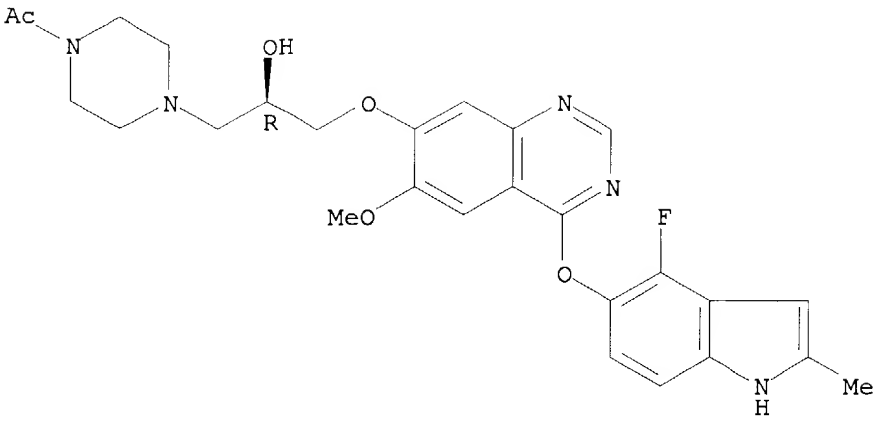
RN 574745-64-5 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane-8-ethanol, α-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

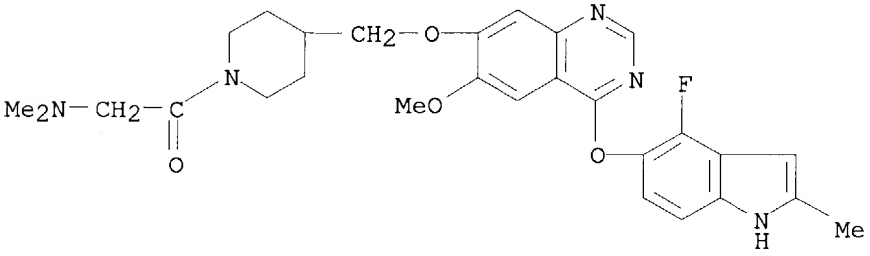


RN 574745-65-6 CAPLUS
CN 1-Piperazineethanol, 4-acetyl-α-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (αR)- (9CI) (CA INDEX NAME)

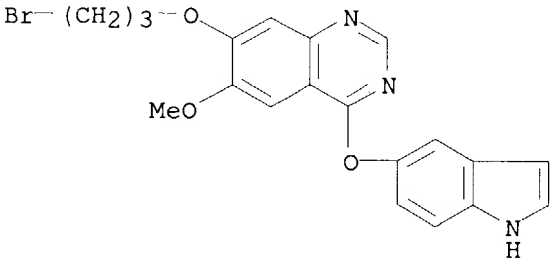
Absolute stereochemistry.



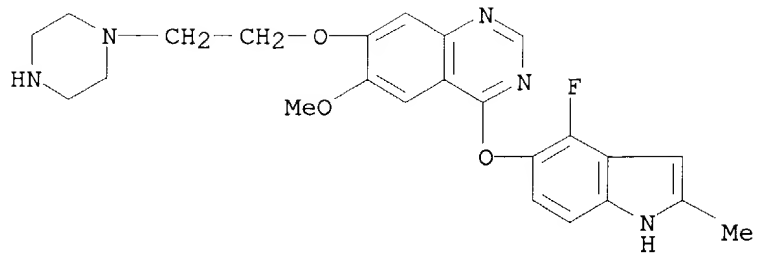
RN 574745-66-7 CAPLUS
CN Piperidine, 1-[(dimethylamino)acetyl]-4-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



IT **288387-52-0 574746-13-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)
RN 288387-52-0 CAPLUS
CN Quinazoline, 7-(3-bromopropoxy)-4-(1H-indol-5-yloxy)-6-methoxy- (9CI) (CA INDEX NAME)



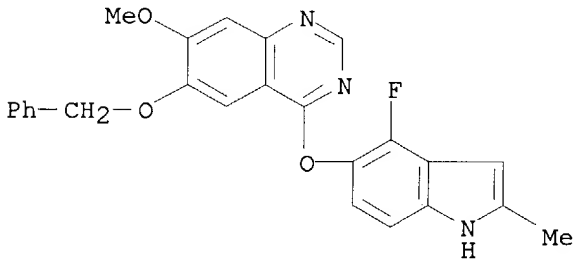
RN 574746-13-7 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[2-(1-piperazinyl)ethoxy]- (9CI) (CA INDEX NAME)



IT **574745-67-8P 574745-68-9P 574745-69-0P**
574745-70-3P 574745-75-8P 574745-76-9P
574745-77-0P 574745-79-2P 574745-80-5P
574745-81-6P 574745-82-7P 574745-83-8P
574745-84-9P 574745-85-0P 574745-86-1P
574745-87-2P 574745-88-3P 574745-89-4P
574745-90-7P 574745-92-9P 574745-99-6P
574746-00-2P 574746-03-5P 574746-04-6P
574746-05-7P 574746-06-8P 574746-08-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolines as VEGF inhibitors)

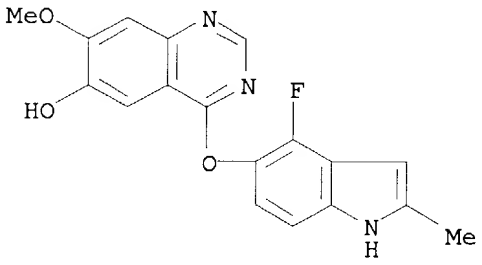
RN 574745-67-8 CAPLUS

CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



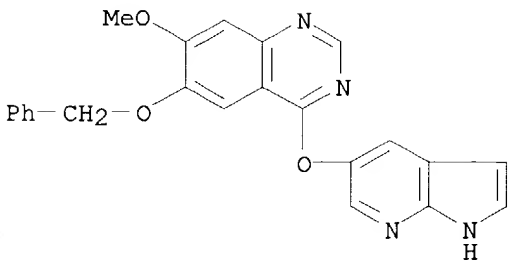
RN 574745-68-9 CAPLUS

CN 6-Quinazolinol, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy- (9CI) (CA INDEX NAME)

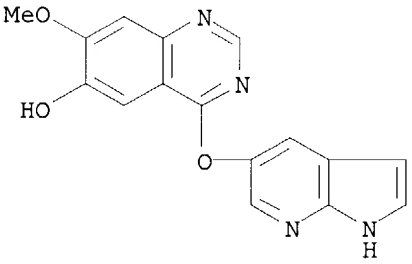


RN 574745-69-0 CAPLUS

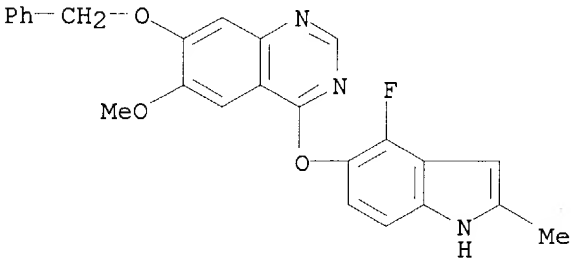
CN Quinazoline, 7-methoxy-6-(phenylmethoxy)-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)



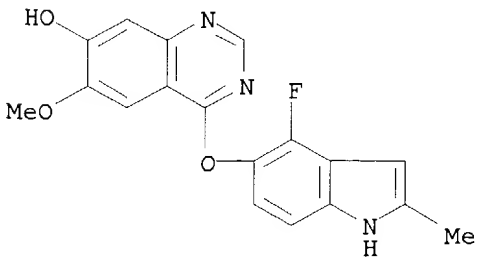
RN 574745-70-3 CAPLUS
CN 6-Quinazolinol, 7-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)



RN 574745-75-8 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

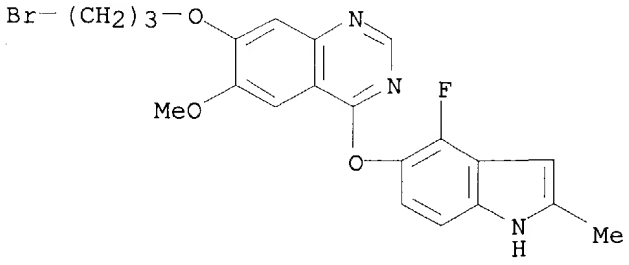


RN 574745-76-9 CAPLUS
CN 7-Quinazolinol, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)

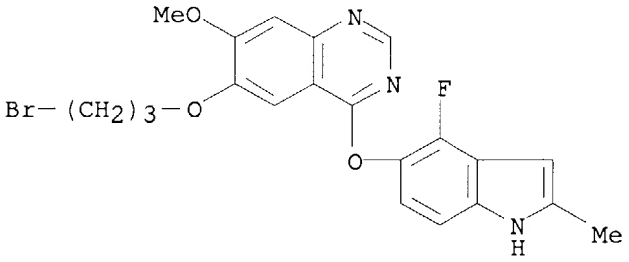


RN 574745-77-0 CAPLUS

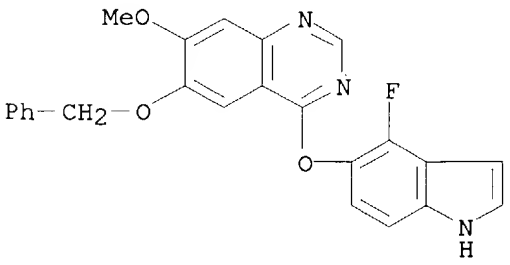
CN Quinazoline, 7-(3-bromopropoxy)-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)



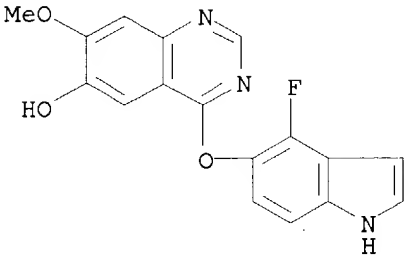
RN 574745-79-2 CAPLUS
CN Quinazoline, 6-(3-bromopropoxy)-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7-methoxy- (9CI) (CA INDEX NAME)



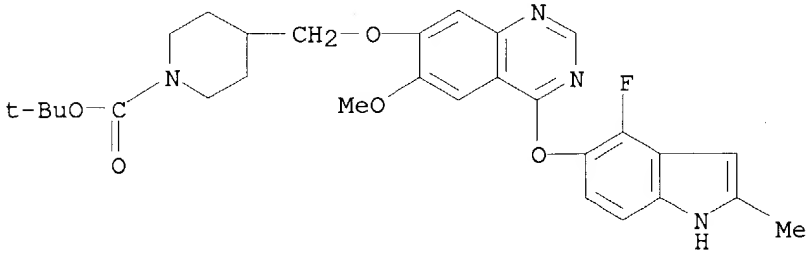
RN 574745-80-5 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



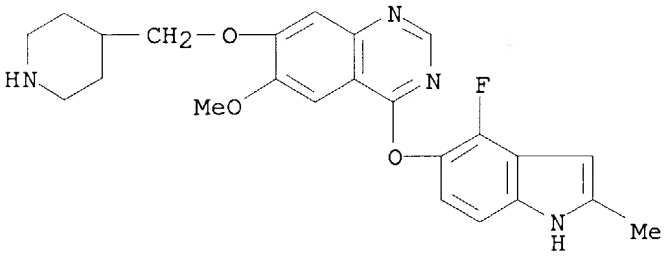
RN 574745-81-6 CAPLUS
CN 6-Quinazolinol, 4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy- (9CI) (CA INDEX NAME)



RN 574745-82-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

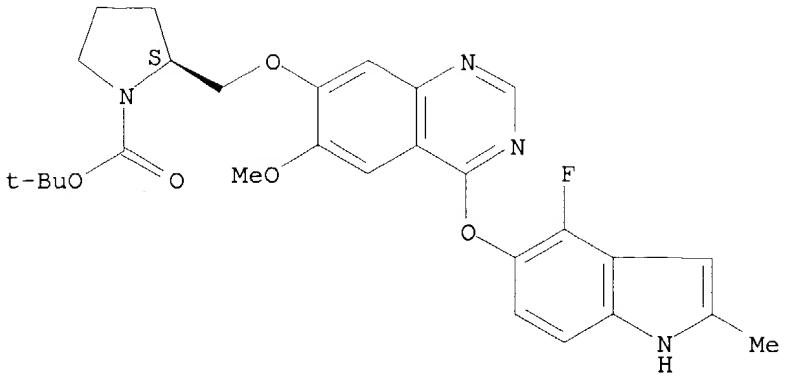


RN 574745-83-8 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-(4-piperidinylmethoxy)- (9CI) (CA INDEX NAME)



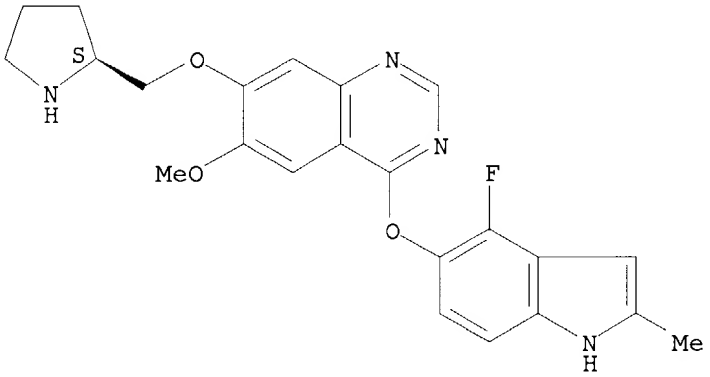
RN 574745-84-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



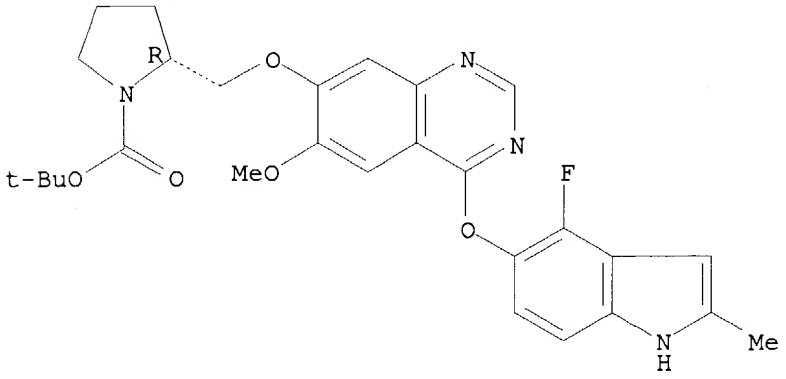
RN 574745-85-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[(2S)-2-pyrrolidinylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 574745-86-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

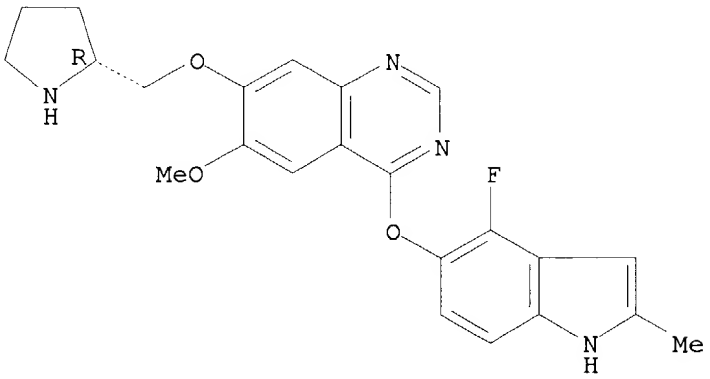
Absolute stereochemistry.



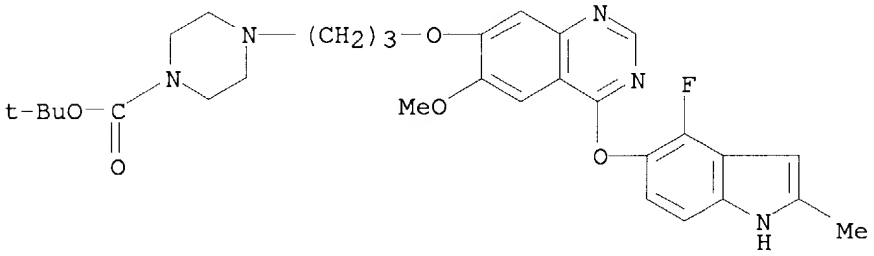
RN 574745-87-2 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[(2R)-2-

pyrrolidinylmethoxy]- (9CI) (CA INDEX NAME)

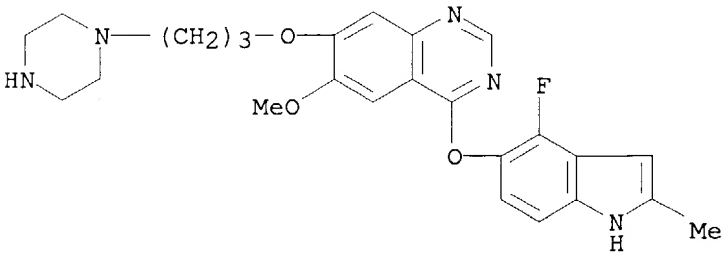
Absolute stereochemistry.



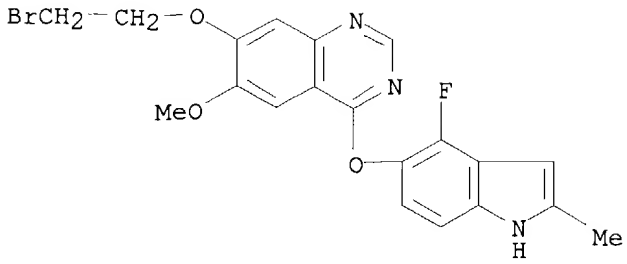
RN 574745-88-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



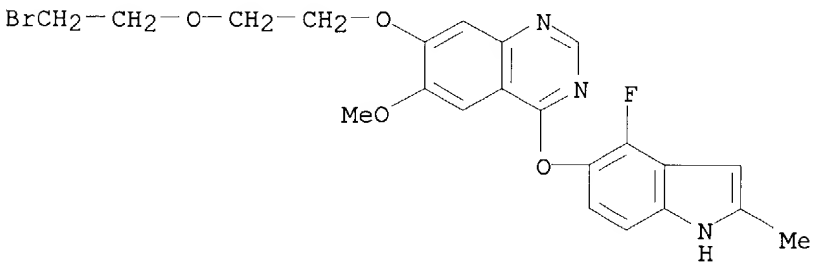
RN 574745-89-4 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



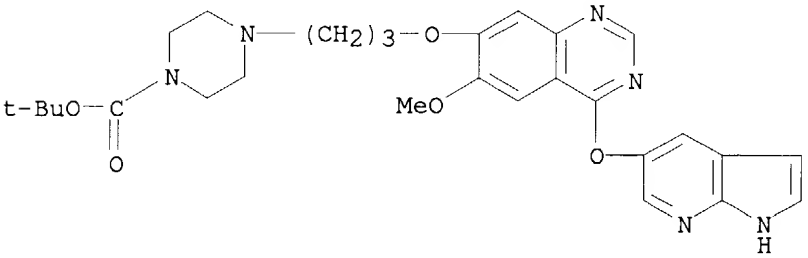
RN 574745-90-7 CAPLUS
CN Quinazoline, 7-(2-bromoethoxy)-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)



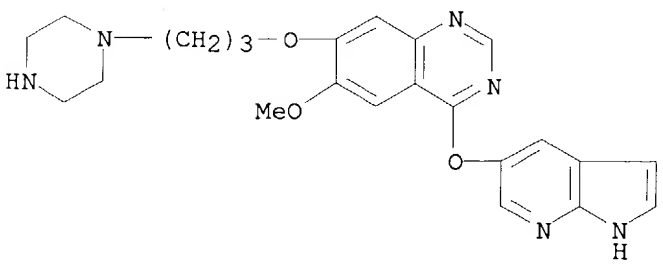
RN 574745-92-9 CAPLUS
CN Quinazoline, 7-[2-(2-bromoethoxy)ethoxy]-4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy- (9CI) (CA INDEX NAME)



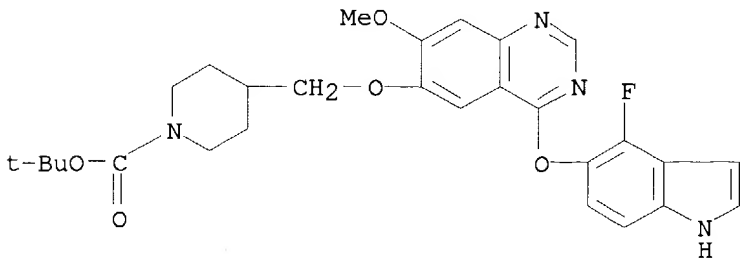
RN 574745-99-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[[6-methoxy-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)-7-quinazolinyl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



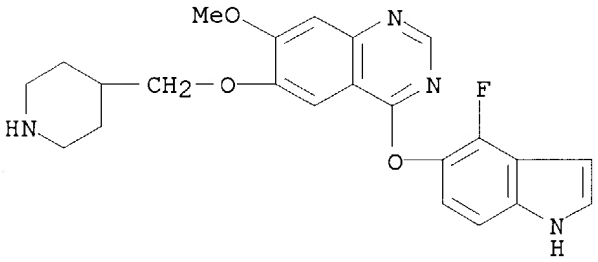
RN 574746-00-2 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(1-piperazinyl)propoxy]-4-(1H-pyrrolo[2,3-b]pyridin-5-yloxy)- (9CI) (CA INDEX NAME)



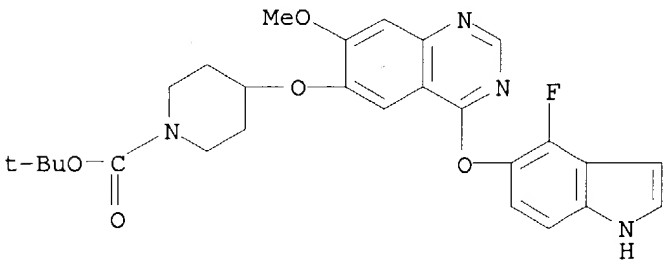
RN 574746-03-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



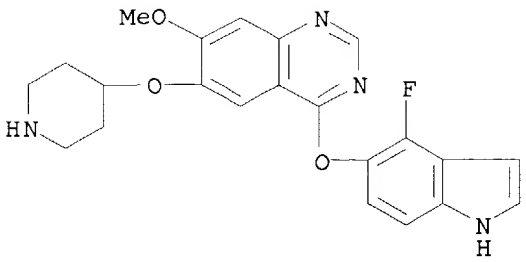
RN 574746-04-6 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-(4-piperidinylmethoxy)- (9CI) (CA INDEX NAME)



RN 574746-05-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-quinazolinyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

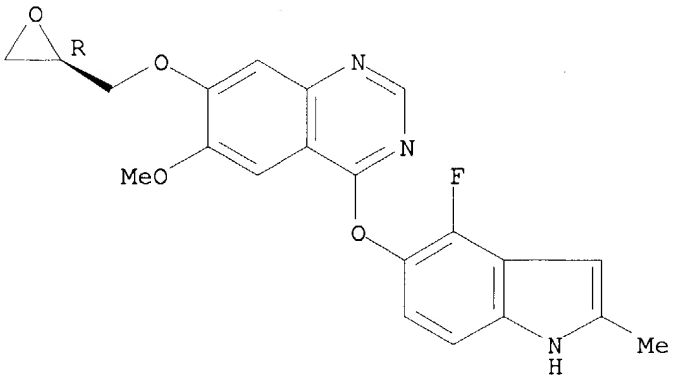


RN 574746-06-8 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-7-methoxy-6-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



RN 574746-08-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



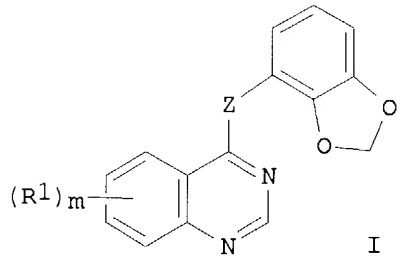
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434555 CAPLUS
DOCUMENT NUMBER: 139:22225
TITLE: Preparation of quinazoline compounds for the treatment of T cell mediated diseases
INVENTOR(S): Moore, Nelly Corine; Oldham, Keith
PATENT ASSIGNEE(S): Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045943	A1	20030605	WO 2002-GB5182	20021120

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1451180 A1 20040901 EP 2002-777554 20021120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.: GB 2001-28122 A 20011123
WO 2002-GB5182 W 20021120
OTHER SOURCE(S): MARPAT 139:22225
GI



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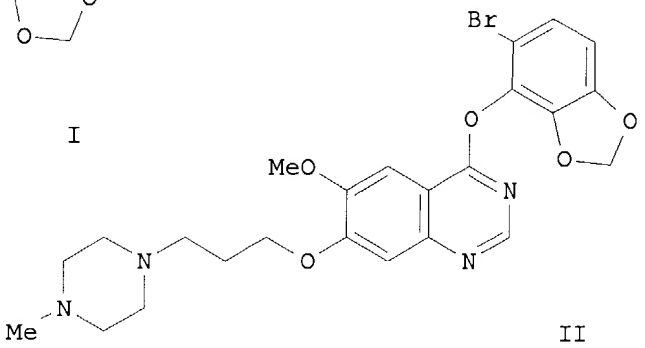


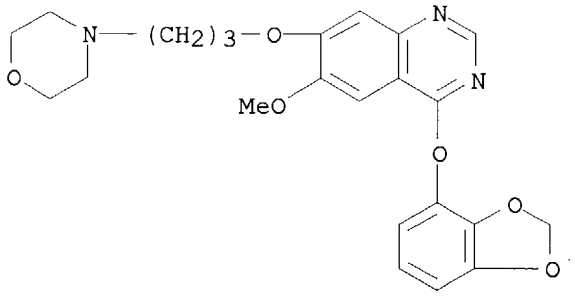
II

AB Quinazoline derivs. of formula I [Z = O, S, SO, SO2, (substituted) CH2; R1 = halo, CF3, CN, nitro, OH, SH, NH2, CHO, alkanoyloxy, heterocyclylalkyloxy, etc.; m = 0-3] are prepared for use in the prevention or treatment of T cell mediated diseases or medical conditions in a warm-blooded animal. Thus, II was prepared and tested for enzyme p56lck inhibition, T cell proliferation inhibition, skin graft rejection inhibition and anti-arthritic activity.

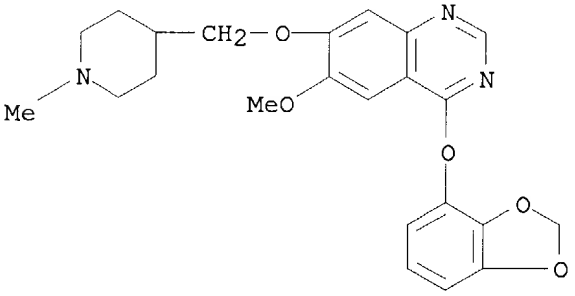
IT **474043-92-0P 474043-93-1P 474043-94-2P**
474043-95-3P 474043-96-4P 474043-97-5P
474043-98-6P 474043-99-7P 474044-00-3P
474044-01-4P 474044-02-5P 474044-03-6P
474044-04-7P 474044-05-8P 474044-06-9P
474044-07-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline compds. for treatment of T cell mediated diseases)

RN 474043-92-0 CAPLUS

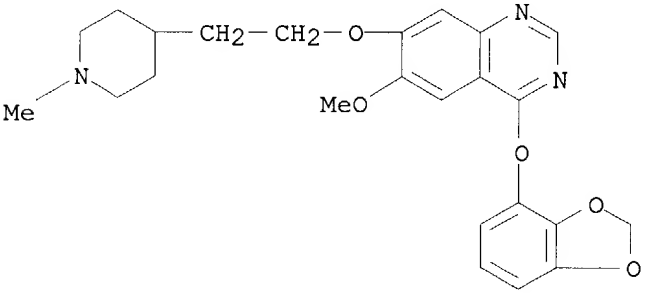
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



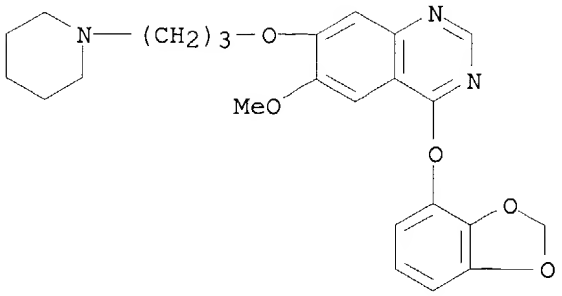
RN 474043-93-1 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



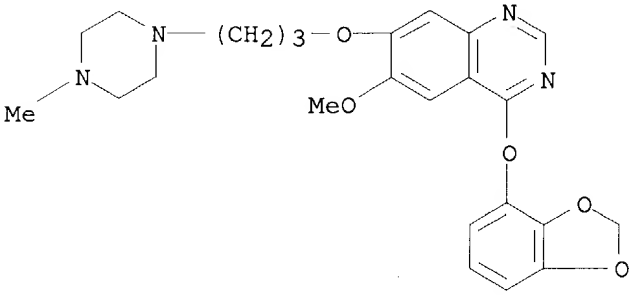
RN 474043-94-2 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[2-(1-methyl-4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



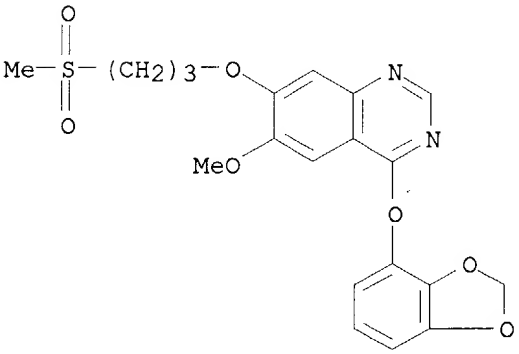
RN 474043-95-3 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



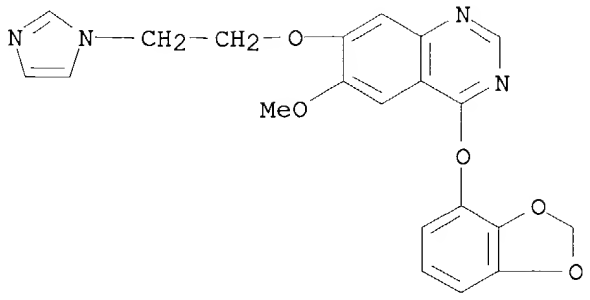
RN 474043-96-4 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



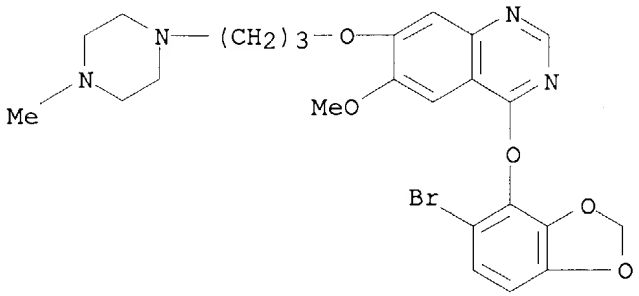
RN 474043-97-5 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



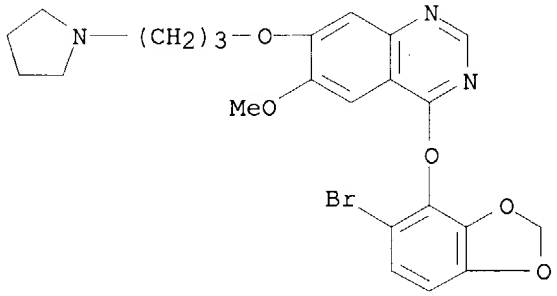
RN 474043-98-6 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



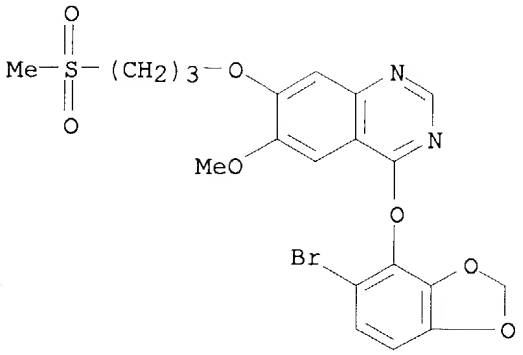
RN 474043-99-7 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



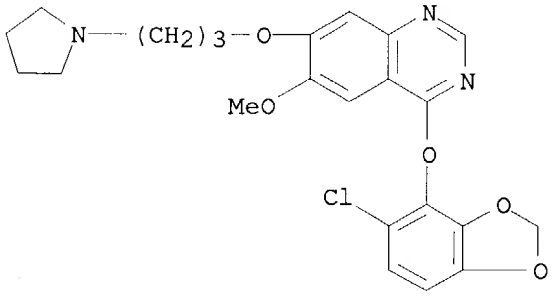
RN 474044-00-3 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



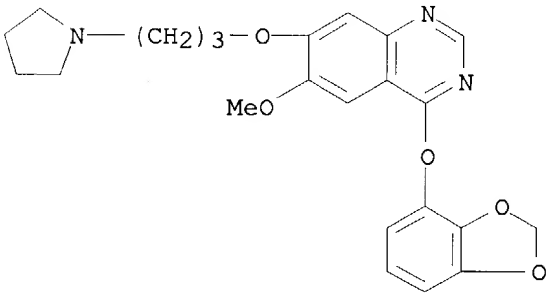
RN 474044-01-4 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



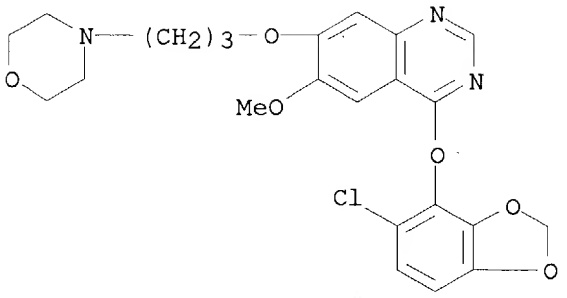
RN 474044-02-5 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



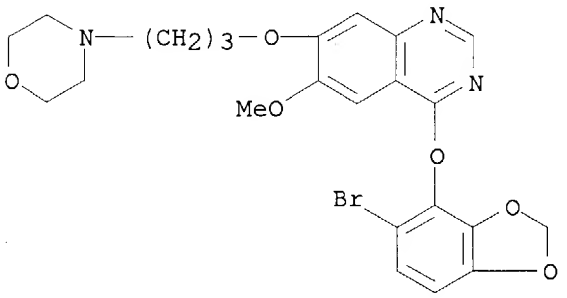
RN 474044-03-6 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



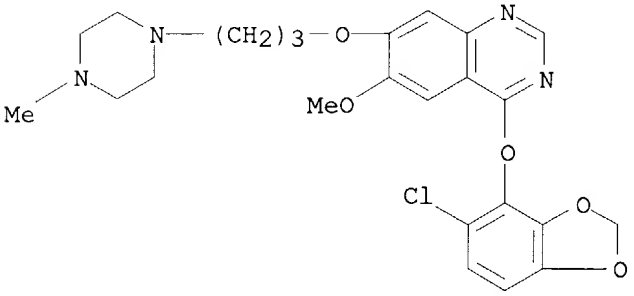
RN 474044-04-7 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



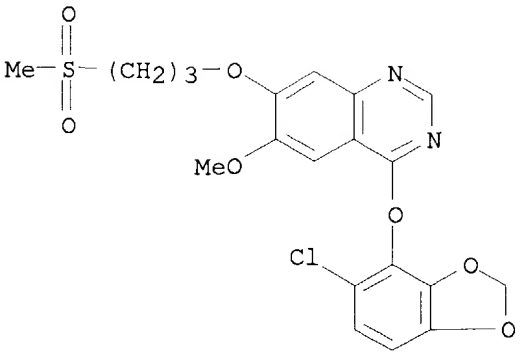
RN 474044-05-8 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 474044-06-9 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 474044-07-0 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)

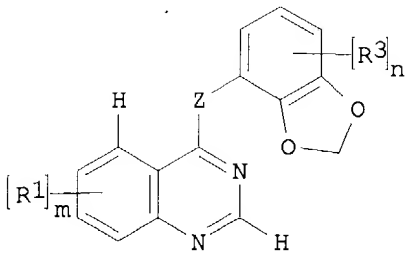


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

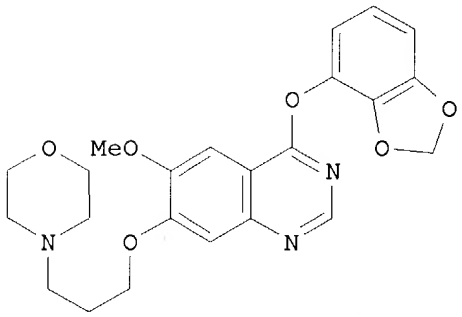
L3 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832791 CAPLUS
DOCUMENT NUMBER: 137:337908
TITLE: Preparation of antitumor quinazolines
INVENTOR(S): Ple, Patrick
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085895	A1	20021031	WO 2002-GB1734	20020415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1381599	A1	20040121	EP 2002-718343	20020415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525984	T2	20040826	JP 2002-583422	20020415
US 2004138240	A1	20040715	US 2003-475016	20031016
PRIORITY APPLN. INFO.:			EP 2001-401007	A 20010419
			WO 2002-GB1734	W 20020415

OTHER SOURCE(S): MARPAT 137:337908
GI



I



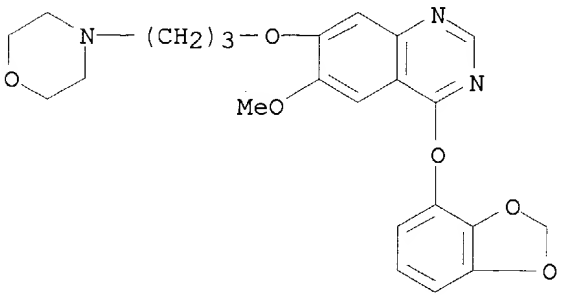
II

AB The title compds. [I; Z = O, S, SO, etc.; m = 0-3; R1 = halo, CF3, CN, etc.; n = 0-3; R3 = halo, CF3, CN, etc.], useful in the manufacture of a medicament for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease, were prepared Thus, a multi-step synthesis of the quinazoline II, starting from 2-amino-4-benzyloxy-5-methoxybenzamide, was given. The compds. I show IC50 in the range of 0.001-10 μM in in vitro c-Src kinase assay.

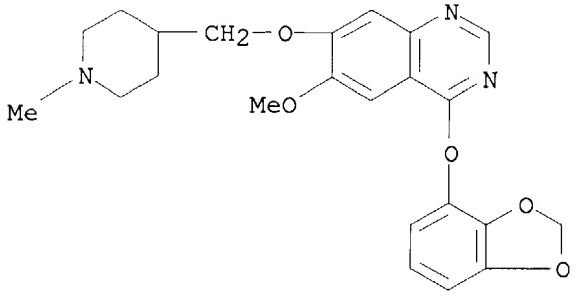
IT **474043-92-0P 474043-93-1P 474043-94-2P**
474043-95-3P 474043-96-4P 474043-97-5P
474043-98-6P 474043-99-7P 474044-00-3P
474044-01-4P 474044-02-5P 474044-03-6P
474044-04-7P 474044-05-8P 474044-06-9P
474044-07-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antitumor quinazolines)

RN 474043-92-0 CAPLUS

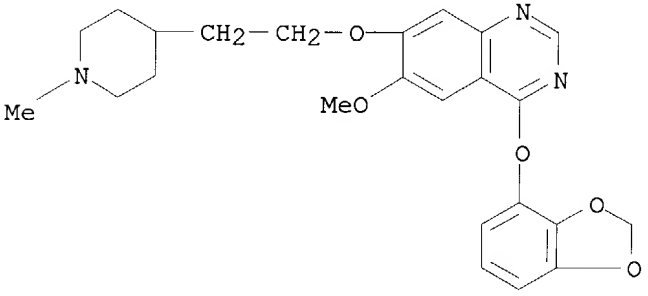
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



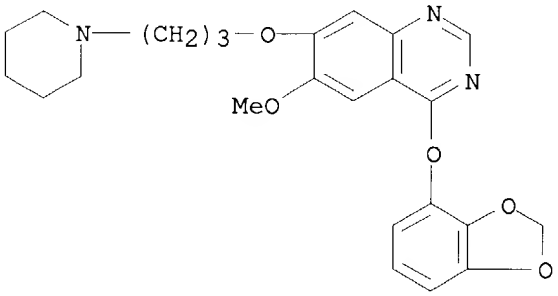
RN 474043-93-1 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



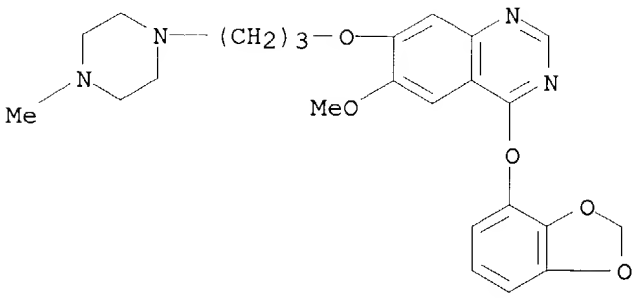
RN 474043-94-2 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[2-(1-methyl-4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



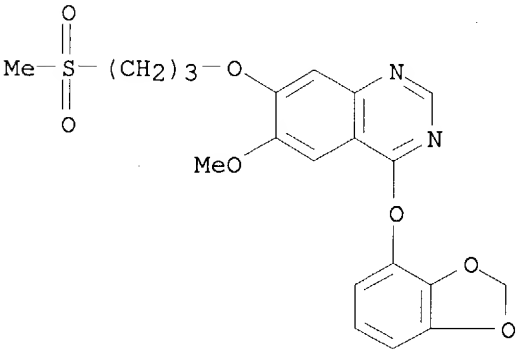
RN 474043-95-3 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



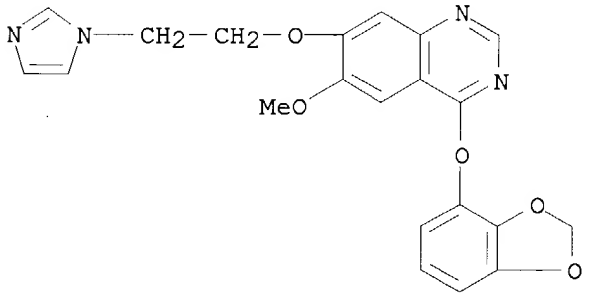
RN 474043-96-4 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



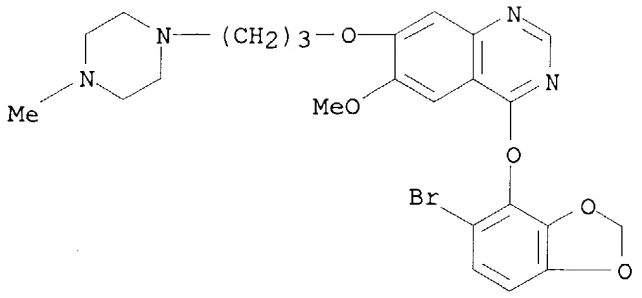
RN 474043-97-5 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



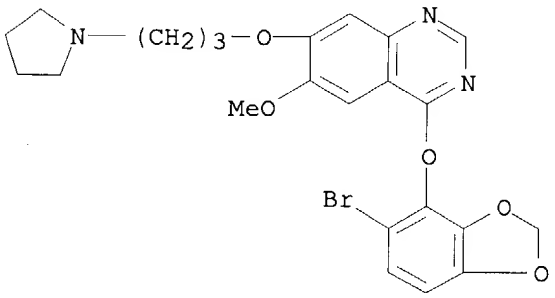
RN 474043-98-6 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy- (9CI) (CA INDEX NAME)



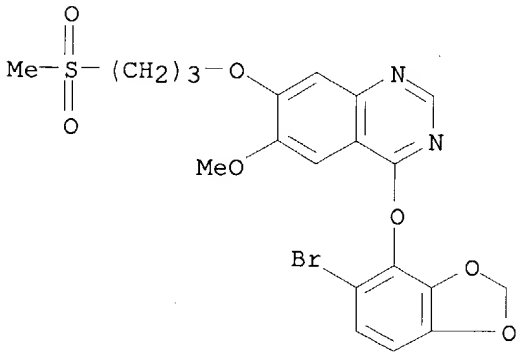
RN 474043-99-7 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



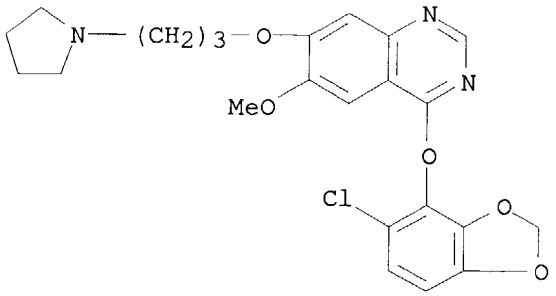
RN 474044-00-3 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



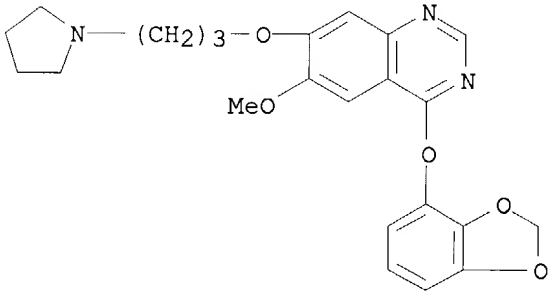
RN 474044-01-4 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



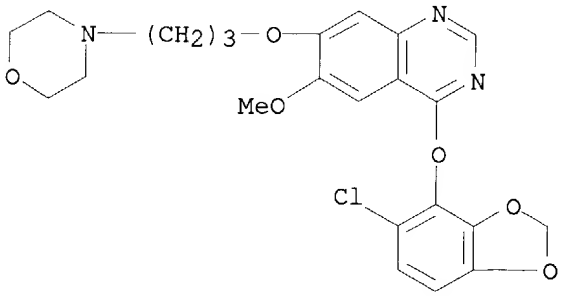
RN 474044-02-5 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



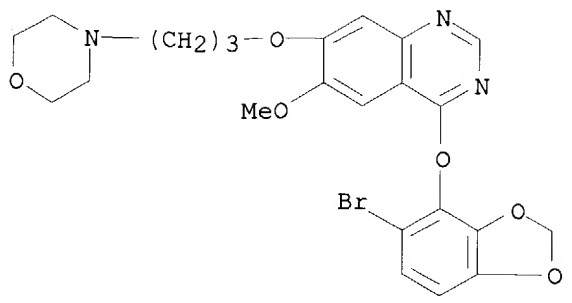
RN 474044-03-6 CAPLUS
CN Quinazoline, 4-(1,3-benzodioxol-4-yloxy)-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



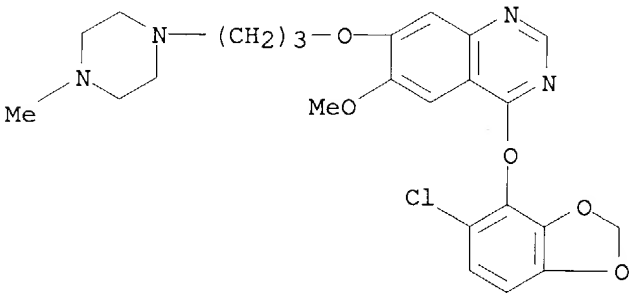
RN 474044-04-7 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



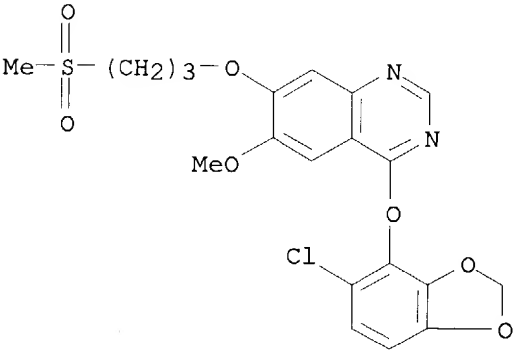
RN 474044-05-8 CAPLUS
CN Quinazoline, 4-[(5-bromo-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 474044-06-9 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 474044-07-0 CAPLUS
CN Quinazoline, 4-[(5-chloro-1,3-benzodioxol-4-yl)oxy]-6-methoxy-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:276519 CAPLUS
DOCUMENT NUMBER: 136:310188
TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an NSAID compound
INVENTOR(S): Heimbrook, David C.; Yao, Siu-long
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 129 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

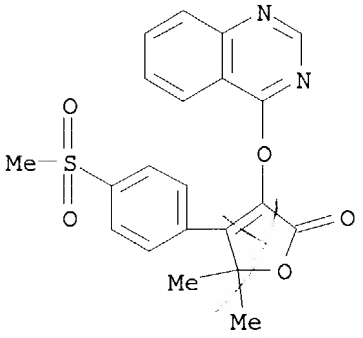
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002042375	A1	20020411	US 2001-896245		20010629
PRIORITY APPLN. INFO.:			US 2000-216217P	P	20000705

OTHER SOURCE(S): MARPAT 136:310188

AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a nonsteroidal antiinflammatory agent (NSAID) and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and COX-2 inhibitor 3-phenyl-4-[4-(4-methylsulfonyl)phenyl]-2(5H)furanone is an example of an NSAID compound (syntheses given).

IT **189955-00-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of cancer with prostate specific antigen (PSA) conjugate and NSAID compound)

RN 189955-00-8 CAPLUS
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:747609 CAPLUS
DOCUMENT NUMBER: 135:283196
TITLE: Therapeutic combinations of antihypertensive and antiangiogenic agents
INVENTOR(S): Curwen, Jon Owen; Ogilvie, Donald James
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001074360	A1	20011011	WO 2001-GB1522	20010402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1272186	A1	20030108	EP 2001-917305	20010402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009729	A	20030204	BR 2001-9729	20010402
JP 2003528917	T2	20030930	JP 2001-572104	20010402
EE 200200578	A	20040615	EE 2002-578	20010402
ZA 2002006959	A	20031201	ZA 2002-6959	20020829
US 2003144298	A1	20030731	US 2002-240413	20021001
NO 2002004814	A	20021112	NO 2002-4814	20021004
PRIORITY APPLN. INFO.:			GB 2000-8269 A	20000405
			WO 2001-GB1522 W	20010402

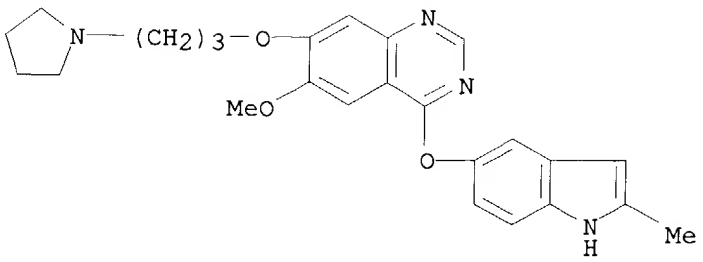
OTHER SOURCE(S): MARPAT 135:283196

AB The invention concerns the use of a combination of an anti-angiogenic agent and an anti-hypertensive agent for use in the manufacture of a medicament for the treatment of a disease state associated with angiogenesis in a warm-blooded mammal, such as a human being. The invention also relates to pharmaceutical compns. comprising an anti-angiogenic agent and an anti-hypertensive agent, to kits thereof and to a method of treatment of a disease state associated with angiogenesis which comprises the administration of an effective amount of a combination of an anti-angiogenic agent and an anti-hypertensive agent to a warm-blooded animal, such as a human being. Anesthetized rats were dosed orally with 12.5 mg/kg of 4-(4-bromo-2-fluoroanilino)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline for 10 days, then they were dosed orally with 30 mg/kg captopril in addition to quinazoline compound The increase in diastolic blood pressure was reversed by the addition of captopril.

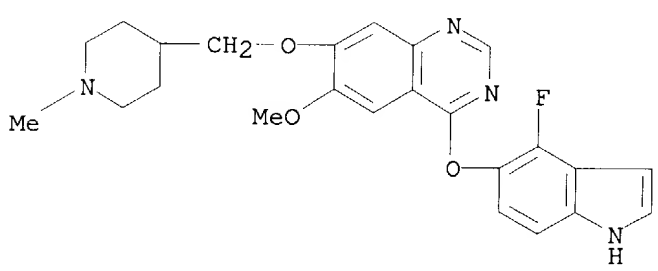
IT **288383-14-2 288383-15-3 288383-16-4**
288383-17-5 288383-18-6 288383-19-7
288383-20-0 288383-21-1 288383-22-2
288383-23-3 288383-24-4 288383-25-5
288383-26-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic combinations of antihypertensive and antiangiogenic agents)

RN 288383-14-2 CAPLUS

CN Quinazoline, 6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

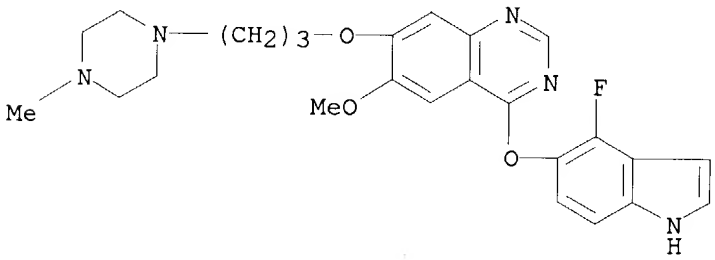


RN 288383-15-3 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)

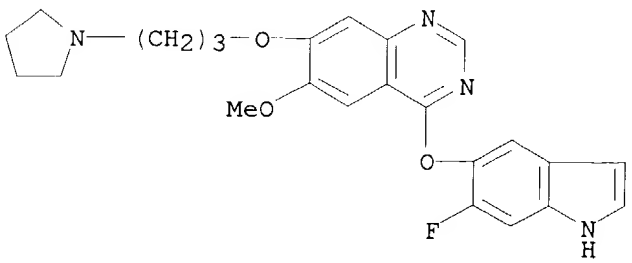


not claimed

RN 288383-16-4 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

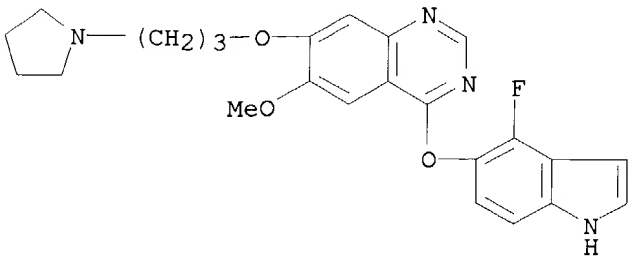


RN 288383-17-5 CAPLUS
CN Quinazoline, 4-[(6-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)

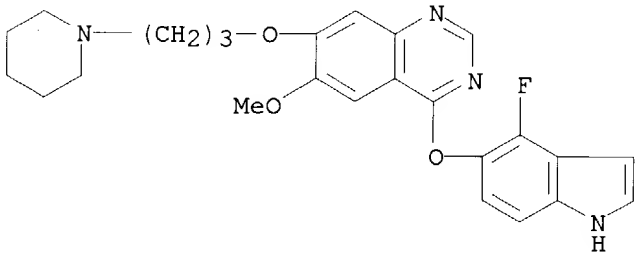


RN 288383-18-6 CAPLUS

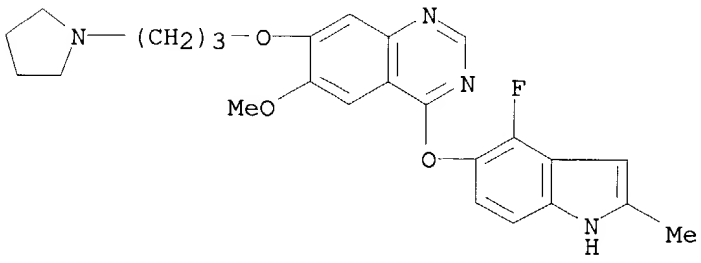
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



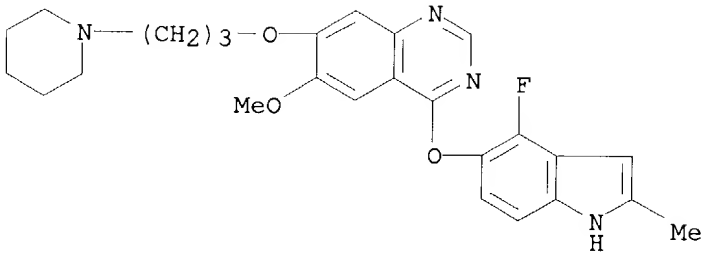
RN 288383-19-7 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



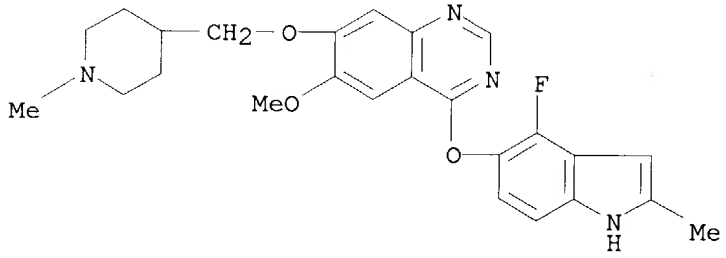
RN 288383-20-0 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



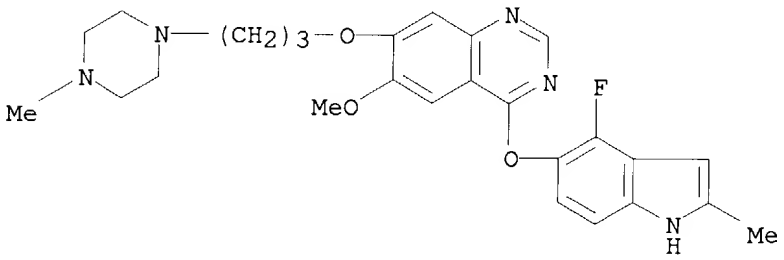
RN 288383-21-1 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



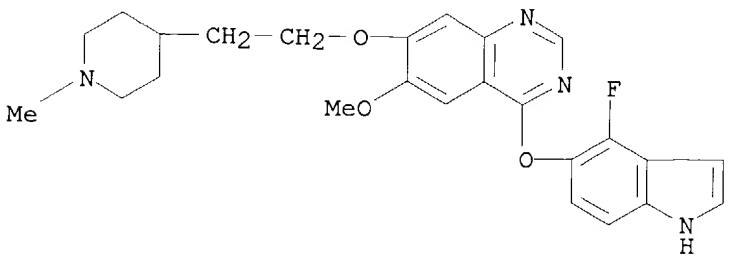
RN 288383-22-2 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 288383-23-3 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



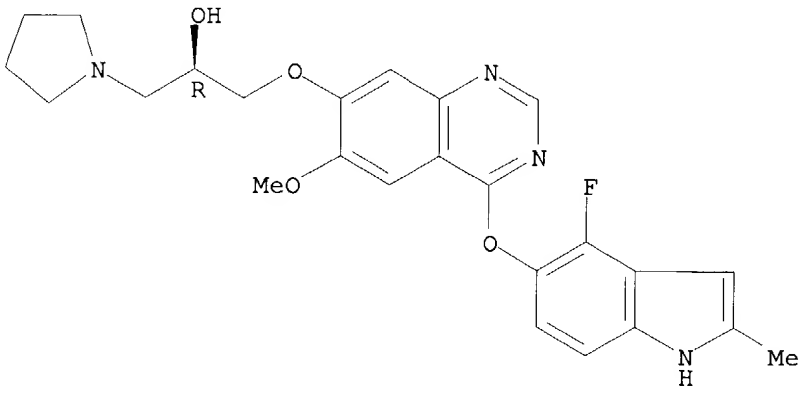
RN 288383-24-4 CAPLUS
CN Quinazoline, 4-[(4-fluoro-1H-indol-5-yl)oxy]-6-methoxy-7-[2-(1-methyl-4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



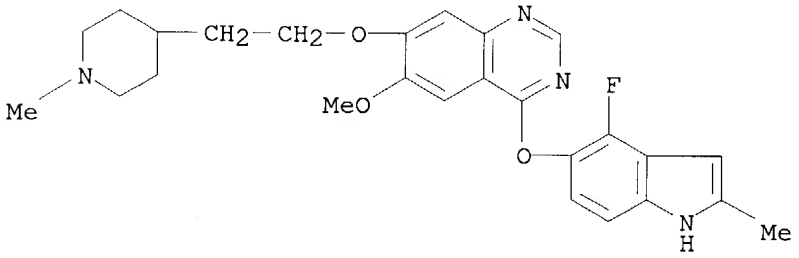
RN 288383-25-5 CAPLUS

CN 1-Pyrrolidineethanol, α-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinazolinyl]oxy]methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288383-26-6 CAPLUS
CN Quinazoline, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-[2-(1-methyl-4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

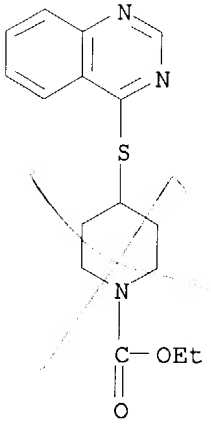
L3 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:860680 CAPLUS
DOCUMENT NUMBER: 134:157196
TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:157196

AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid

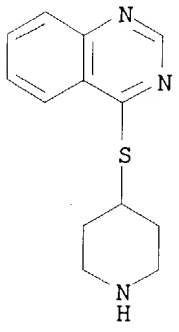
induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT **232618-27-8P 232618-31-4P 232618-36-9P**
325145-97-9P 325145-98-0P 325145-99-1P
325146-00-7P 325146-01-8P 325146-02-9P
325146-03-0P 325146-04-1P 325146-05-2P
325146-06-3P 325146-07-4P 325146-08-5P
325146-09-6P 325146-10-9P 325146-11-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

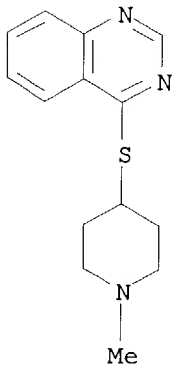
RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



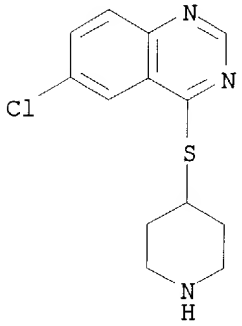
RN 232618-31-4 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



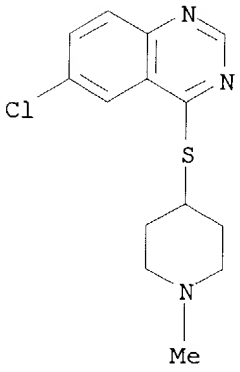
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 325145-97-9 CAPLUS
CN Quinazoline, 6-chloro-4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



RN 325145-98-0 CAPLUS
CN Quinazoline, 6-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



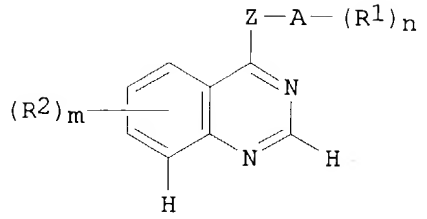
RN 325145-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:573671 CAPLUS
DOCUMENT NUMBER: 133:177183
TITLE: Preparation of quinazoline derivatives as angiogenesis inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047212	A1	20000817	WO 2000-GB373	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154774	A1	20011121	EP 2000-902730	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102314	T2	20020121	TR 2001-200102314	20000208
BR 2000008128	A	20020213	BR 2000-8128	20000208
JP 2002536414	T2	20021029	JP 2000-598164	20000208
EE 200100409	A	20021216	EE 2001-409	20000208
AU 763618	B2	20030731	AU 2000-24475	20000208
NZ 513204	A	20040430	NZ 2000-513204	20000208
ZA 2001006340	A	20021101	ZA 2001-6340	20010801
NO 2001003882	A	20011009	NO 2001-3882	20010809
PRIORITY APPLN. INFO.:			EP 1999-400305 A	19990210
			WO 2000-GB373 W	20000208

OTHER SOURCE(S): MARPAT 133:177183
GI



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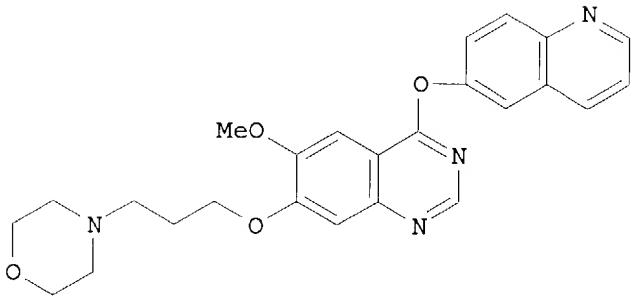


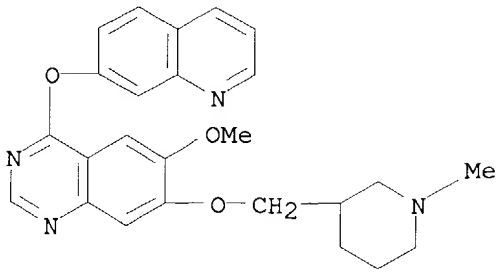
II

AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH2, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO2, CF3, alkyl(sulfanyl), alkoxy, NR3N4, or R5X1; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH2, OC(O), CO, S, SO, SO2, NR6CO, CONR7, SO2R8, NR9SO2, or NR10; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT **288382-08-1P**, 6-Methoxy-7-[(1-methylpiperidin-3-yl)methoxy]-4-(quinolin-7-yloxy)quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(angiogenesis inhibitor; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

RN 288382-08-1 CAPLUS

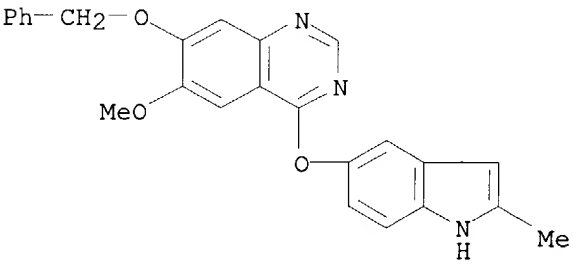
CN Quinazoline, 6-methoxy-7-[(1-methyl-3-piperidinyl)methoxy]-4-(7-quinolinyloxy)- (9CI) (CA INDEX NAME)



IT **288383-64-2P**, 7-Benzyloxy-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline **288383-65-3P**, 7-Hydroxy-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline **288384-15-6P**, 7-[2-(1-(tert-Butoxycarbonyl)piperidin-4-yl)ethoxy]-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline **288384-17-8P**, 6-Methoxy-4-(2-methylindol-5-yloxy)-7-[2-(piperidin-4-yl)ethoxy]quinazoline **288386-84-5P**, 6-Methoxy-4-(3-methylindol-5-yloxy)-7-((1-(tert-butoxycarbonyl)piperidin-4-yl)methoxy)quinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(angiogenesis inhibitor; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent derivatization)

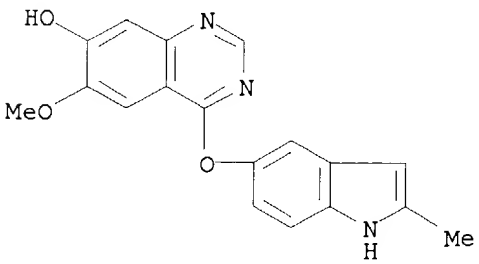
RN 288383-64-2 CAPLUS

CN Quinazoline, 6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



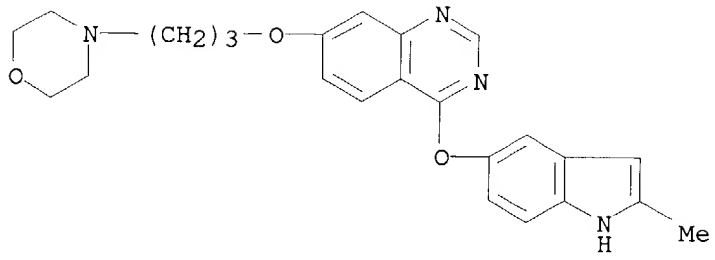
RN 288383-65-3 CAPLUS

CN 7-Quinazolinol, 6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)

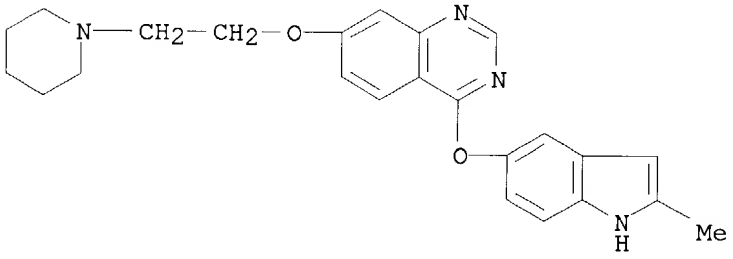


RN 288384-15-6 CAPLUS

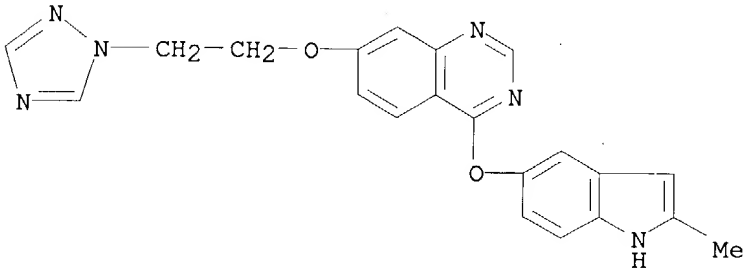
(9CI) (CA INDEX NAME)



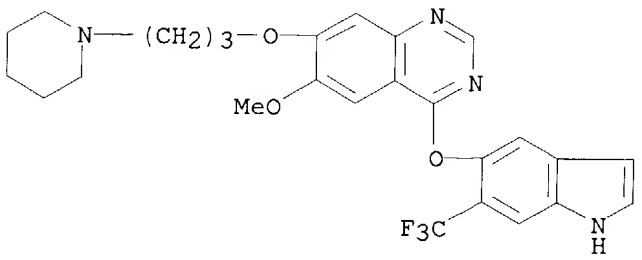
RN 288382-39-8 CAPLUS
CN Quinazoline, 4-[(2-methyl-1H-indol-5-yl)oxy]-7-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



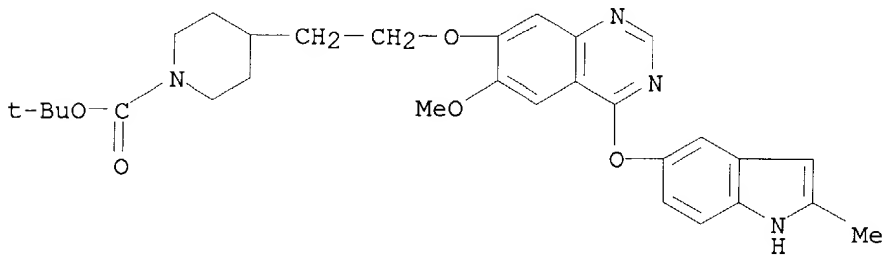
RN 288382-40-1 CAPLUS
CN Quinazoline, 4-[(2-methyl-1H-indol-5-yl)oxy]-7-[2-(1H-1,2,4-triazol-1-yl)ethoxy]- (9CI) (CA INDEX NAME)



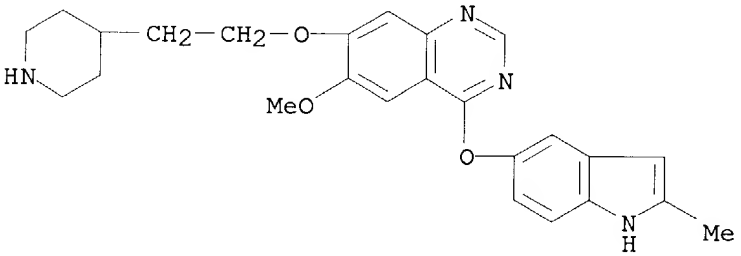
RN 288382-41-2 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-[[6-(trifluoromethyl)-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)



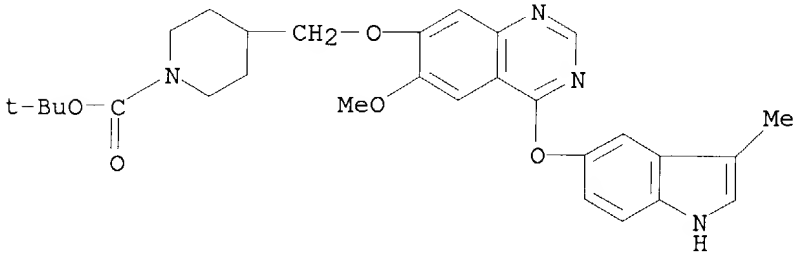
CN 1-Piperidinecarboxylic acid, 4-[2-[[6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



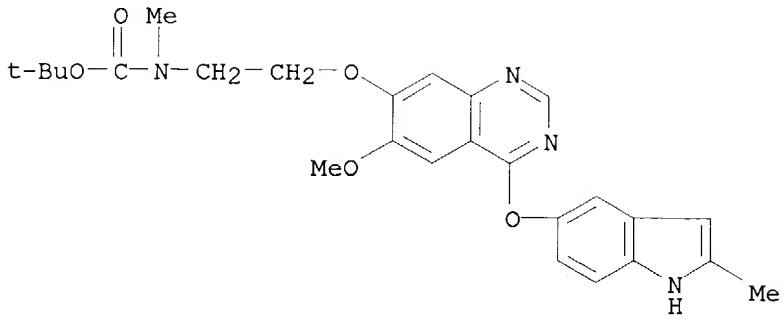
RN 288384-17-8 CAPLUS
CN Quinazoline, 6-methoxy-4-[(2-methyl-1H-indol-5-yl)oxy]-7-[2-(4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 288386-84-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-methoxy-4-[(3-methyl-1H-indol-5-yl)oxy]-7-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **288382-02-5P**, 6-Methoxy-7-[(1-methylpiperidin-4-yl)methoxy]-4-(quinolin-7-yloxy)quinazoline **288382-04-7P**, 7-[3-(1,1-Dioxothiomorpholino)propoxy]-6-methoxy-4-(quinolin-7-yloxy)quinazoline **288382-06-9P**, 6-Methoxy-7-[3-(4-methylpiperazin-1-yl)propoxy]-4-(quinolin-7-yloxy)quinazoline **288382-10-5P**, 4-(4-Chloroquinolin-7-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline **288382-12-7P**, 6-Methoxy-7-[(1-methylpiperidin-4-yl)methoxy]-4-(4-methylquinolin-7-yloxy)quinazoline **288382-14-9P**, 6-Methoxy-4-(4-methylquinolin-7-yloxy)-7-[3-(pyrrolidin-1-yl)propoxy]quinazoline **288382-16-1P**, 6-Methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-(quinolin-7-yloxy)quinazoline **288382-18-3P**, 6-Methoxy-7-[[1-(2-(methylsulfonyl)ethyl)piperidin-4-



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:260277 CAPLUS
DOCUMENT NUMBER: 132:293771
TITLE: Preparation of quinazolines as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Pasquet, Georges
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021955	A1	20000420	WO 1999-GB3295	19991005
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344290	AA	20000420	CA 1999-2344290	19991005
AU 9961128	A1	20000501	AU 1999-61128	19991005
AU 756556	B2	20030116		
BR 9914326	A	20010626	BR 1999-14326	19991005
EP 1119567	A1	20010801	EP 1999-947758	19991005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527436	T2	20020827	JP 2000-575861	19991005
NZ 510434	A	20031031	NZ 1999-510434	19991005
ZA 2001002655	A	20020930	ZA 2001-2655	20010330
NO 2001001739	A	20010607	NO 2001-1739	20010406

PRIORITY APPLN. INFO.: EP 1998-402496 A 19981008
WO 1999-GB3295 W 19991005

OTHER SOURCE(S): MARPAT 132:293771
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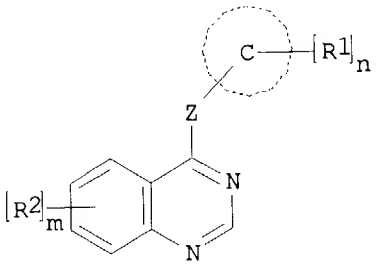

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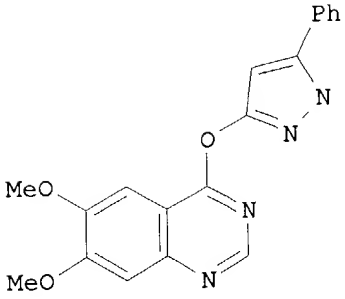
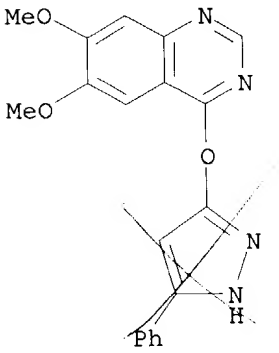


II

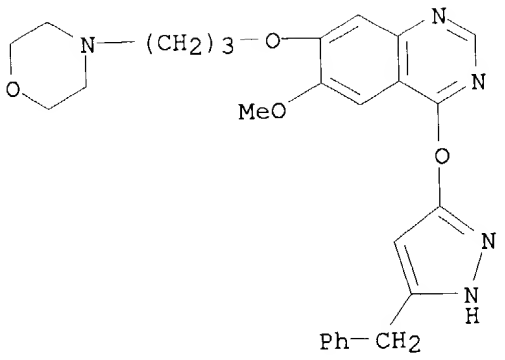
AB The title compds. [I; ring C = 5-6 membered heterocyclic moiety; Z = O, NH, S, CH2; R1 = H, alkyl, alkoxymethyl, etc.; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.] and their salts which inhibit the effects of VEGF , and therefore useful in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepared and formulated. E.g., a multi-step synthesis of quinazoline II was given. Compds. I are effective at 1-50 mg/kg/day.

IT **264207-46-7P 264207-48-9P 264207-50-3P**
264207-52-5P 264207-54-7P 264207-56-9P
264207-58-1P 264207-60-5P 264207-62-7P
264207-64-9P 264207-66-1P 264207-68-3P
264207-70-7P 264207-72-9P 264207-74-1P
264207-76-3P 264207-94-5P 264207-96-7P
264207-98-9P 264208-00-6P 264208-02-8P
264208-04-0P 264208-06-2P 264208-08-4P
264208-10-8P 264208-12-0P 264208-14-2P
264208-16-4P 264208-18-6P 264208-21-1P
264208-23-3P 264208-26-6P 264208-28-8P
264208-31-3P 264208-33-5P 264208-35-7P
264208-38-0P 264208-41-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as VEGF receptor tyrosine kinase inhibitors)

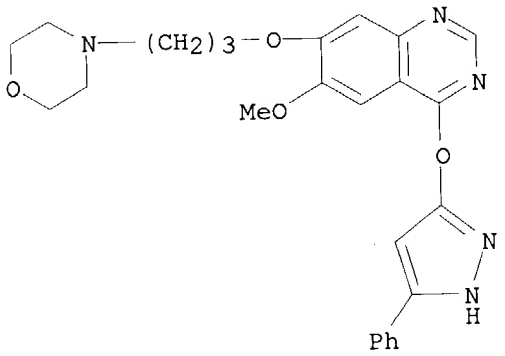
RN 264207-46-7 CAPLUS
CN Quinazoline, 6,7-dimethoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



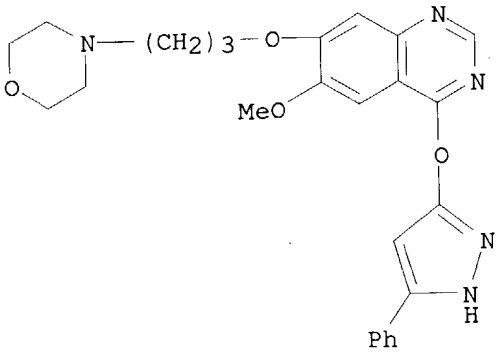
RN 264207-48-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(phenylmethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 264207-50-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



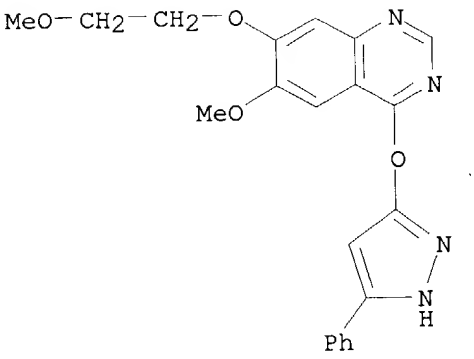
RN 264207-52-5 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, dihydrochloride (9CI) (CA INDEX NAME)



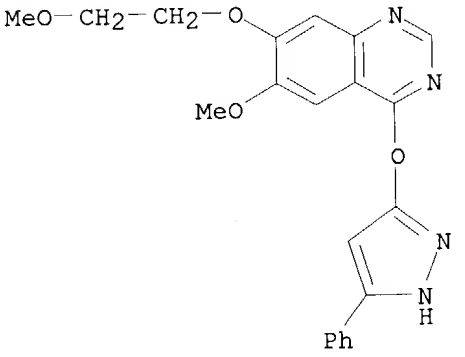
●2 HCl

RN 264207-54-7 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-

yl)oxy]- (9CI) (CA INDEX NAME)

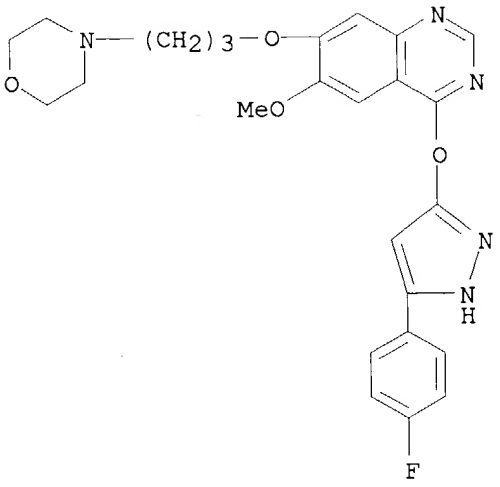


RN 264207-56-9 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (4:3) (9CI) (CA INDEX NAME)



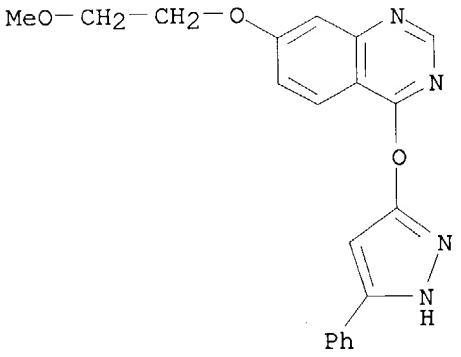
●3/4 HCl

RN 264207-58-1 CAPLUS
CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)



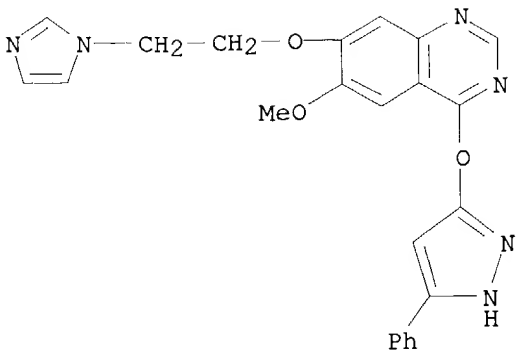
●19/10 HCl

RN 264207-60-5 CAPLUS
CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (5:3) (9CI) (CA INDEX NAME)



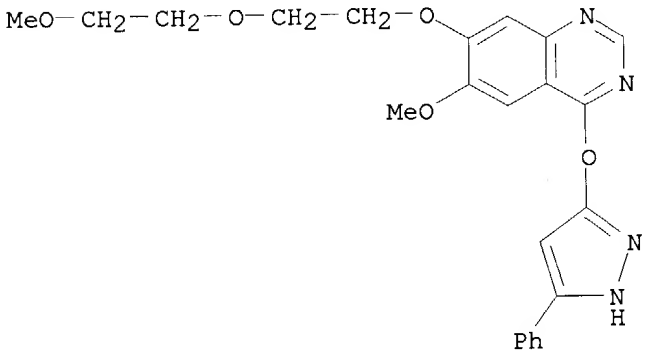
●3/5 HCl

RN 264207-62-7 CAPLUS
CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (2:5) (9CI) (CA INDEX NAME)



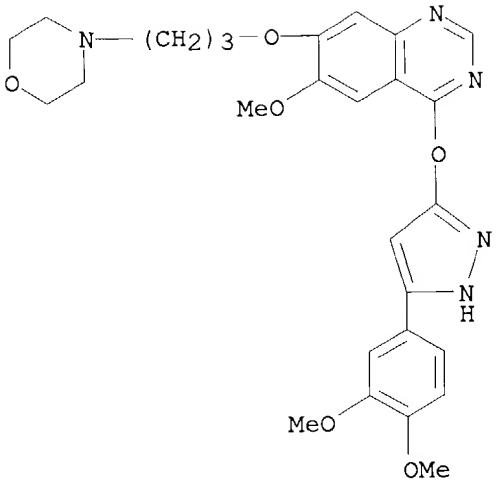
●5/2 HCl

RN 264207-64-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (20:17) (9CI) (CA INDEX NAME)

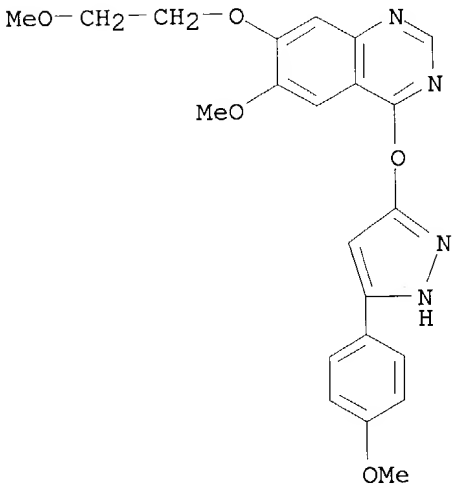


●17/20 HCl

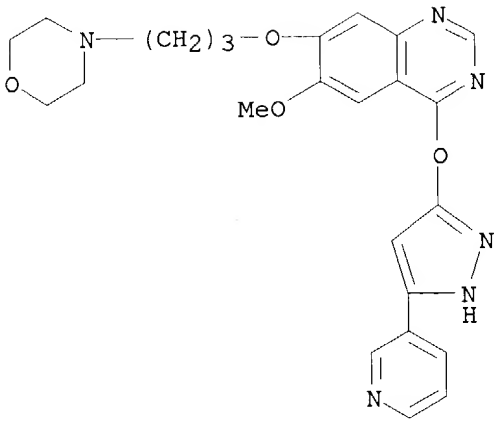
RN 264207-66-1 CAPLUS
CN Quinazoline, 4-[[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



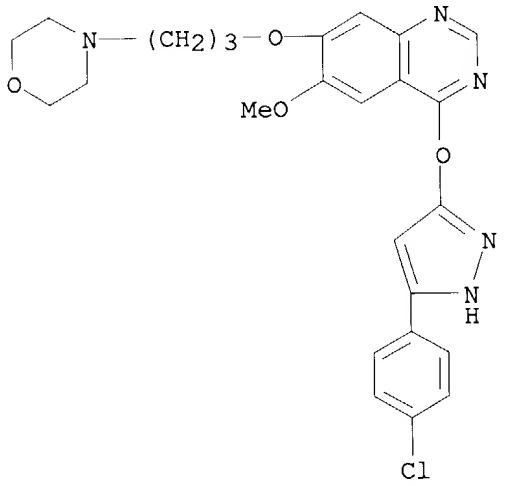
RN 264207-68-3 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



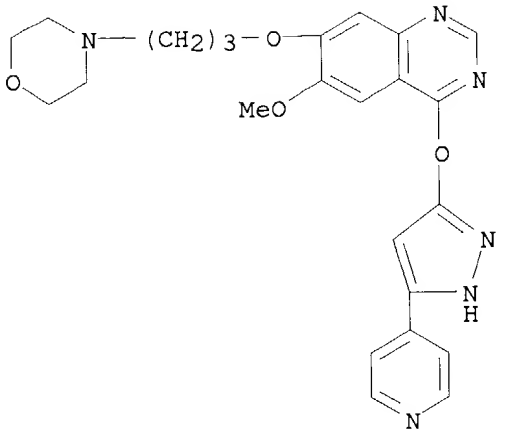
RN 264207-70-7 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



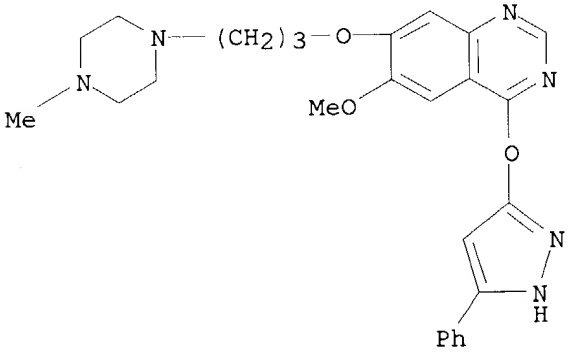
RN 264207-72-9 CAPLUS
CN Quinazoline, 4-[[5-(4-chlorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



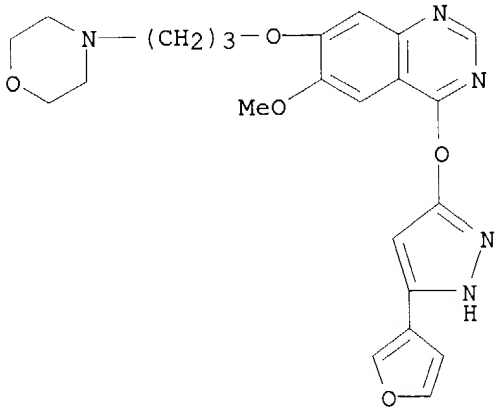
RN 264207-74-1 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 264207-76-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)

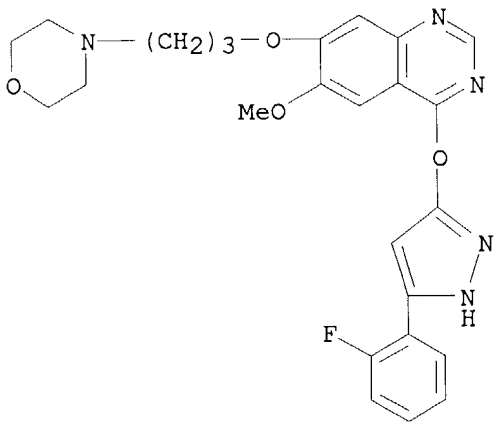


RN 264207-94-5 CAPLUS
CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

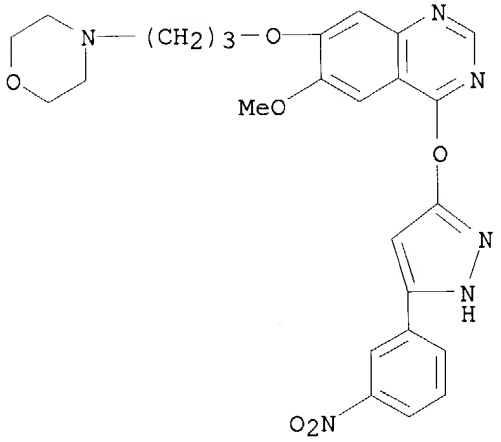


● x HCl

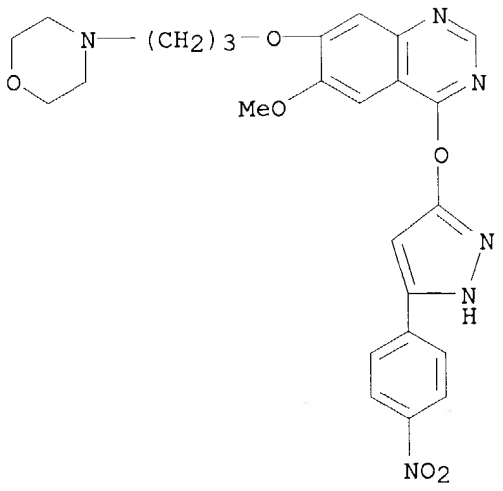
RN 264207-96-7 CAPLUS
CN Quinazoline, 4-[[5-(2-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



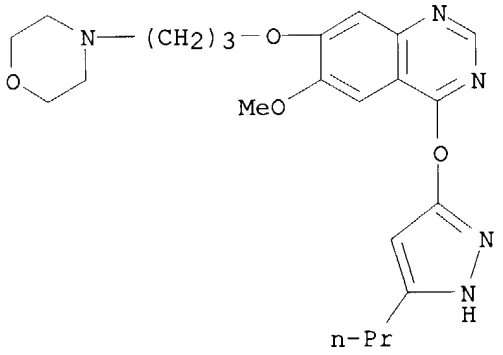
RN 264207-98-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-nitrophenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



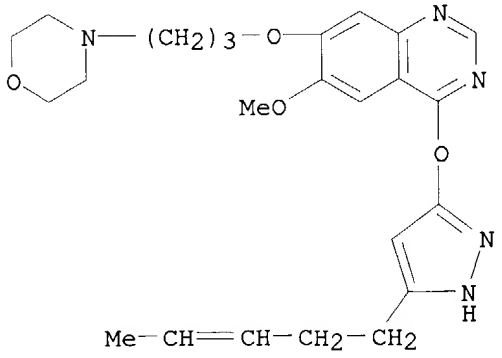
RN 264208-00-6 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-nitrophenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



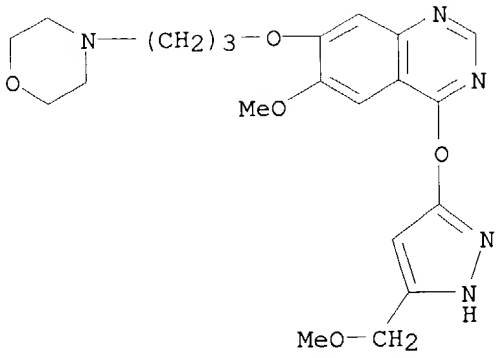
RN 264208-02-8 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-propyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



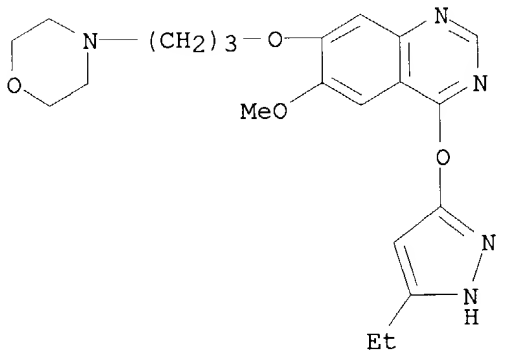
RN 264208-04-0 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pentenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



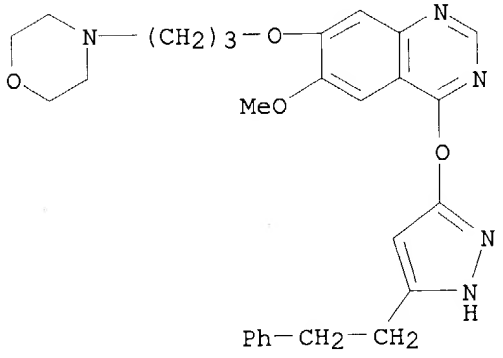
RN 264208-06-2 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(methoxymethyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



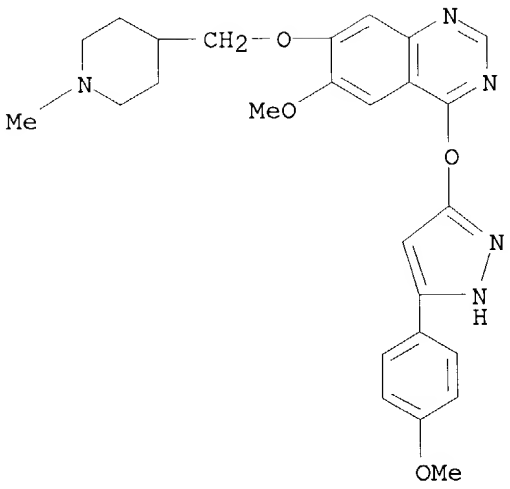
RN 264208-08-4 CAPLUS
CN Quinazoline, 4-[(5-ethyl-1H-pyrazol-3-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



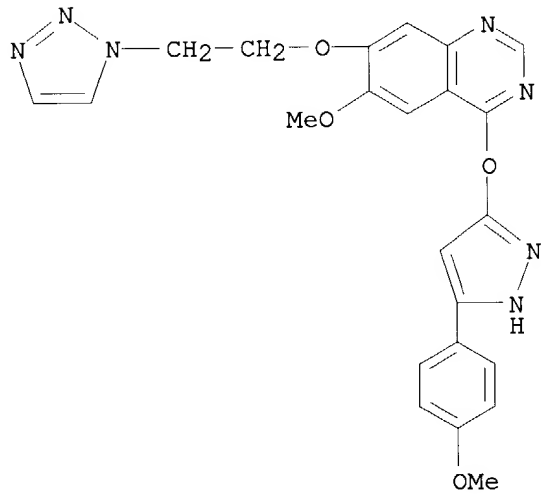
RN 264208-10-8 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(2-phenylethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



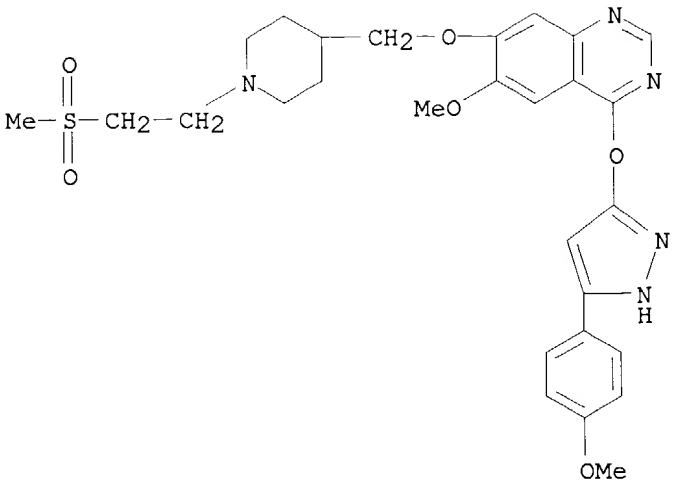
RN 264208-12-0 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



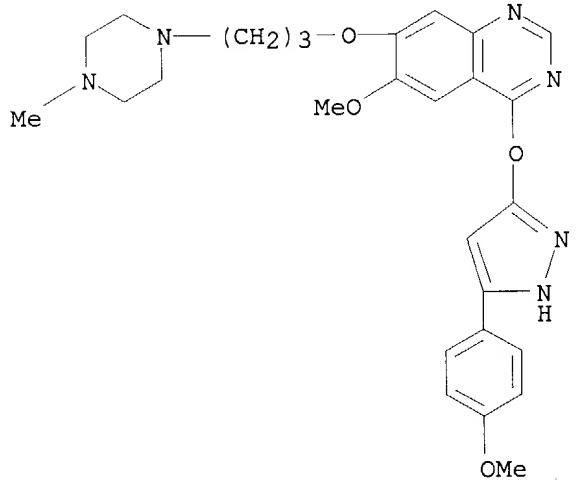
RN 264208-14-2 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]- (9CI) (CA INDEX NAME)



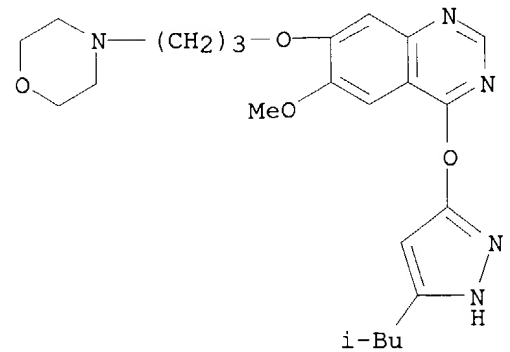
RN 264208-16-4 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[[1-[2-(methylsulfonyl)ethyl]-4-piperidinyl]methoxy]- (9CI) (CA INDEX NAME)



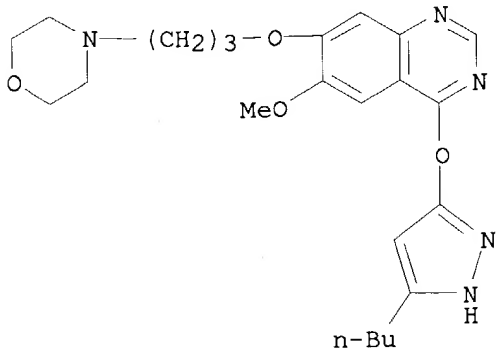
RN 264208-18-6 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



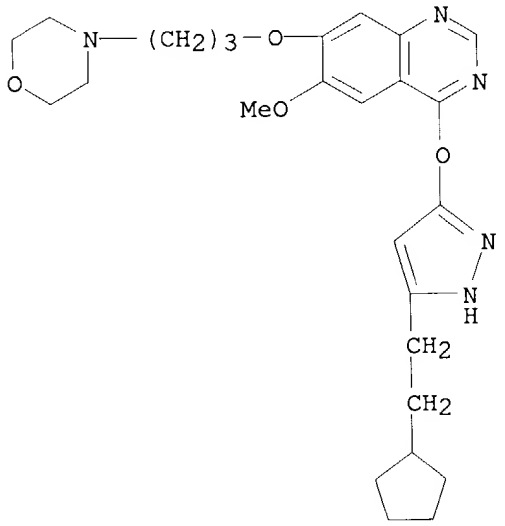
RN 264208-21-1 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(2-methylpropyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



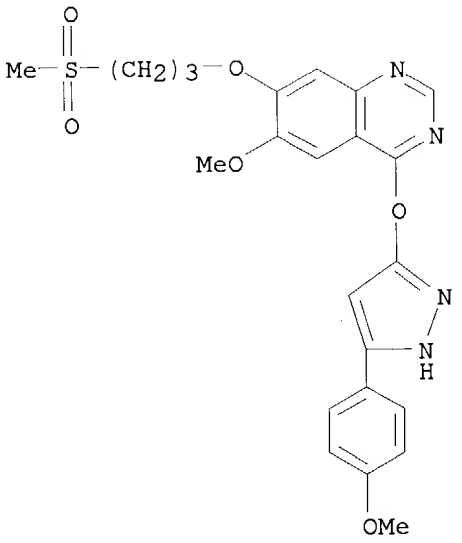
RN 264208-23-3 CAPLUS
CN Quinazoline, 4-[(5-butyl-1H-pyrazol-3-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



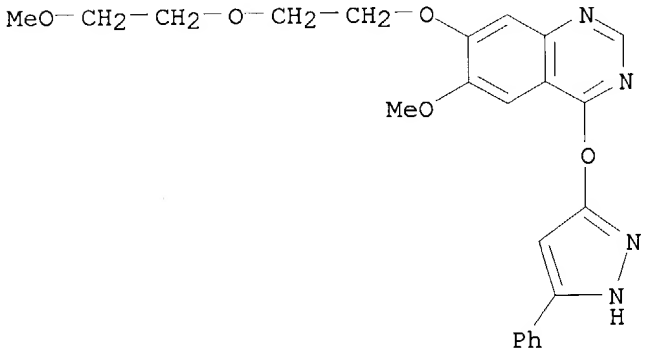
RN 264208-26-6 CAPLUS
CN Quinazoline, 4-[[5-(2-cyclopentylethyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



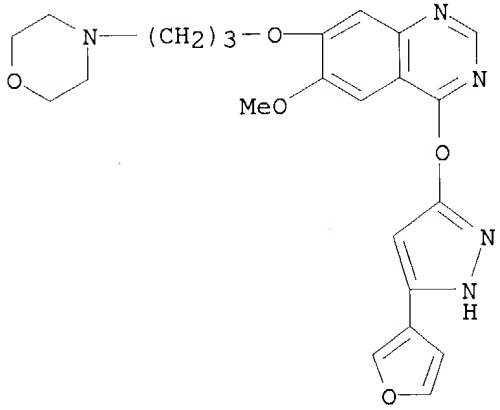
RN 264208-28-8 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



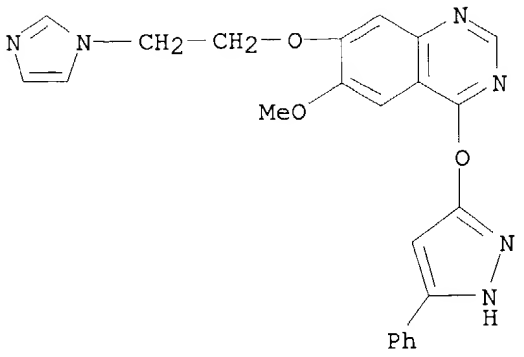
RN 264208-31-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



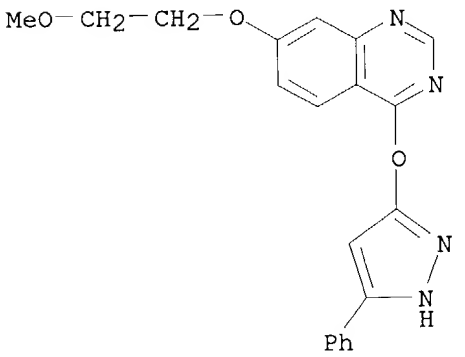
RN 264208-33-5 CAPLUS
CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



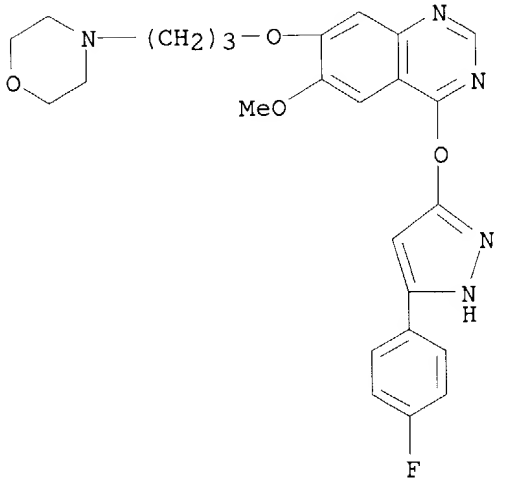
RN 264208-35-7 CAPLUS
CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264208-38-0 CAPLUS
CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264208-41-5 CAPLUS
CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

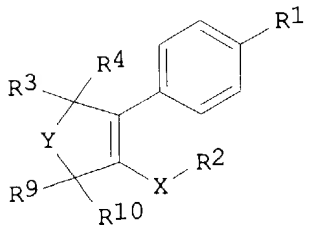


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:83114 CAPLUS
DOCUMENT NUMBER: 132:122509
TITLE: Preparation of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors
INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-kun; Roy, Patrick
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: U.S., 88 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6020343	A	20000201	US 1998-97543		19980615
NZ 332820	A	20000526	NZ 1996-332820		19961009
JP 2001199954	A2	20010724	JP 2000-366579		19961009
ZA 9608609	A	19970414	ZA 1996-8609		19961011
US 6169188	B1	20010102	US 1999-422151		19991021
PRIORITY APPLN. INFO.:			US 1995-5371P	P	19951013
			US 1996-11637P	P	19960214
			US 1996-728512	B2	19961009
			GB 1996-2939	A	19960213
			GB 1996-5645	A	19960318
			JP 1997-515371	A3	19961009
			NZ 1996-319090	A1	19961009
			US 1998-97543	A3	19980615

OTHER SOURCE(S): MARPAT 132:122509
GI



I

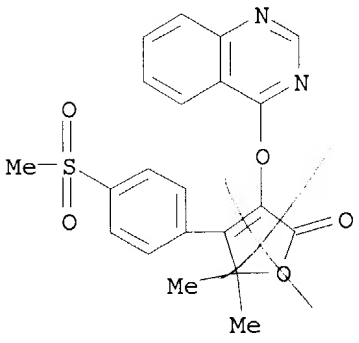
AB The title compds. [I; X = CH2, CHOH, CO, etc.; Y = O, S, CO, etc.; R1 = SO2Me, SO2NHCOCF3, SONHNH2, etc.; R2 = alkyl, (un)substituted Ph, naphthyl, etc.; R3 = H, alkyl, CN, etc.; R4 = H, alkyl, alkoxy, etc.; R9, R10 = H, alkyl; R9 and R10 together with the carbon atom to which they are attached form a carbonyl or thiocarbonyl group], useful in the treatment of cyclooxygenase-2 mediated diseases such as inflammation, arthritis, osteoporosis, rheumatoid arthritis, and pain, were prepared E.g., a 4-step

synthesis of I [X = O; Y = O; R1 = SO2Me; R2 = 3,4-F2C6H3; R3 = R4 = Me; R9 and R10 together with the carbon atom to which they are attached form a carbonyl group] which showed ED50 of 0.14 mg/kg in rat paw edema assay, was given.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:769077 CAPLUS

DOCUMENT NUMBER: 132:73232

TITLE: Synthesis and biological evaluation of 3-heteroaryloxy-4-phenyl-2(5H)-furanones as selective COX-2 inhibitors

AUTHOR(S): Lau, Cheuk K.; Brideau, Christine; Chan, Chi Chung; Charleson, Stella; Cromlish, Wanda A.; Ethier, Diane; Gauthier, Jacques Yves; Gordon, Robert; Guay, Jocelyne; Kargman, Stacia; Li, Chun-Sing; Prasit, Petpiboon; Riendeau, Denis; Therien, Michel; Visco, Denise M.; Xu, Lijing

CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Pointe Claire-Dorval, QC, H9R 4P8, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(22), 3187-3192
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

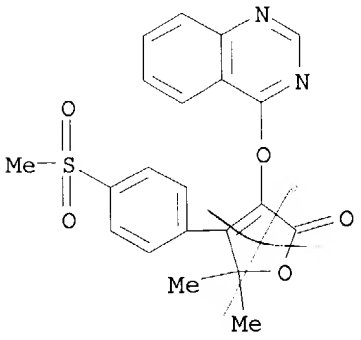
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 3-heteroaryloxy-4-phenyl-2-(5H)-furanones were prepared and evaluated for their potency and selectivity as COX-2 inhibitors. This led to the identification of L-778,736 as a potent, orally active and selective inhibitor of the COX-2 enzyme.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-anti-inflammatory activity of cyclooxygenase 2

inhibitors heteroaryloxyphenylfuranones)
RN 189955-00-8 CAPLUS
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)

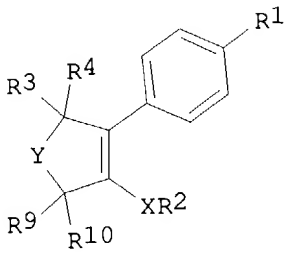


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:718982 CAPLUS
DOCUMENT NUMBER: 131:322532
TITLE: Preparation of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors.
INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-Sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-Kun; Roy, Patrick
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5981576	A	19991109	US 1998-97537		19980615
NZ 332820	A	20000526	NZ 1996-332820		19961009
JP 2001199954	A2	20010724	JP 2000-366579		19961009
ZA 9608609	A	19970414	ZA 1996-8609		19961011
PRIORITY APPLN. INFO.:			US 1995-5371P	P	19951013
			US 1996-11637P	P	19960214
			US 1996-728512	B2	19961009
			GB 1996-2939	A	19960213
			GB 1996-5645	A	19960318
			JP 1997-515371	A3	19961009
			NZ 1996-319090	A1	19961009

OTHER SOURCE(S): MARPAT 131:322532
GI



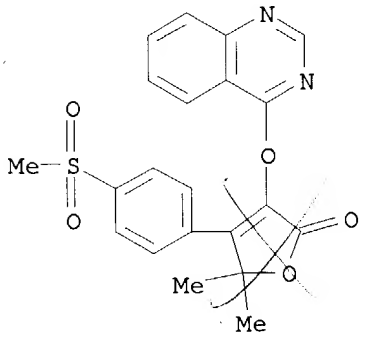
I

AB Title compds. [I; X = CH2, CH(OH), CO, O, S, NR15; Y = CO, O, S, CR11R12; R1 = SO2Me, SO2NR16R17, SO2NHCOCF3, etc.; R2 = alkyl, (substituted) Ph, naphthyl, heteroaryl, benzoheterocyclyl, heterocyclylalkyl, benzocarbocyclyl, etc.; R3 = H, alkyl, CH2OR7, cyano, CH2CN, (substituted) Ph, etc.; R4 = H, alkyl, alkoxy, alkylthio, OH, SH, OCOR7, etc.; R3R4 = atoms to form a 3-7 membered ring; R7 = H, alkyl, (substituted) Ph, PhCH2; R9, R10 = H, alkyl; R9R10 = O, S; R16, R17 = H, alkyl, alkanoic acid, alkyl amine, etc.; with provisos], were prepared Thus, cyclopropanemethanol in THF was added to NaH in THF at 12° over 75 min. followed by 18 h stirring at room temperature; ClCH2CO2Na was added followed by 8.5 h reflux to give an oil. This was refluxed with 2-bromo-2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one (preparation given) and ethyldiisopropylamine in EtOH to give cyclopropylmethoxyacetic acid 2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one ester. The latter was refluxed with iso-Pr trifluoroacetate and DBU in MeCN to give 3-(cyclopropylmethoxy)-5,5-dimethyl-4-[(4-methylsulfonyl)phenyl]-5H-furan-2-one. I inhibited rat paw edema with ED50 = 0.32-10 mg/kg orally.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:410148 CAPLUS
DOCUMENT NUMBER: 131:111116
TITLE: Synthesis and analgesic activity of some condensed

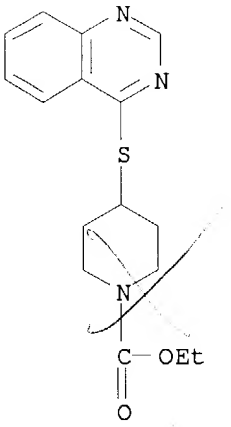
09/~~913,054~~

	analogs of anpirtoline
AUTHOR(S):	Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE:	Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE:	Archiv der Pharmazie (Weinheim, Germany) (1999), 332(6), 208-212 CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER:	Wiley-VCH Verlag GmbH
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT1A, 5-HT1B) and analgesic activity (hot plate, AcOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.

IT **232618-27-8P 232618-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)

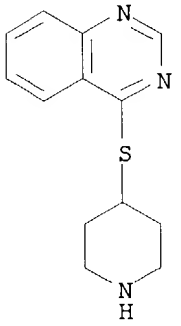
RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-32-5 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

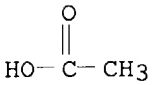
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S

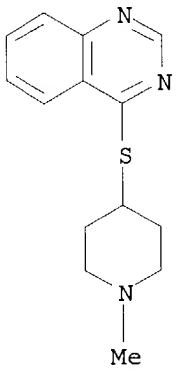


CM 2

CRN 64-19-7
CMF C2 H4 O2



IT **232618-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:425272 CAPLUS
DOCUMENT NUMBER: 127:34112
TITLE: Preparation of 3,4-diaryl-2-hydroxy-2,5-dihydrofurans as prodrugs to cyclooxygenase-2 (cox-2) inhibitors and as non-steroidal anti-inflammatory agents
INVENTOR(S): Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.; Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory

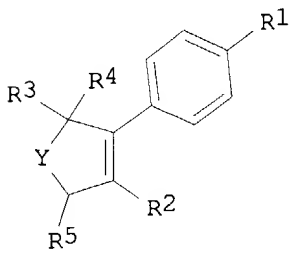
SOURCE: PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716435	A1	19970509	WO 1996-CA717	19961029
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5698584	A	19971216	US 1996-738143	19961025
CA 2234642	AA	19970509	CA 1996-2234642	19961029
AU 9672736	A1	19970522	AU 1996-72736	19961029
AU 711902	B2	19991021		
JP 11500748	T2	19990119	JP 1996-516943	19961029
EP 904269	A1	19990331	EP 1996-934267	19961029
EP 904269	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, PT, IE, FI				
AT 212343	E	20020215	AT 1996-934267	19961029
ES 2171723	T3	20020916	ES 1996-934267	19961029
JP 3337477	B2	20021021	JP 1997-516943	19961029
US 6057319	A	20000502	US 1998-68139	19981002

PRIORITY APPLN. INFO.: US 1995-8074P P 19951030
GB 1996-2877 A 19960213
WO 1996-CA717 W 19961029

OTHER SOURCE(S): MARPAT 127:34112

GI



I

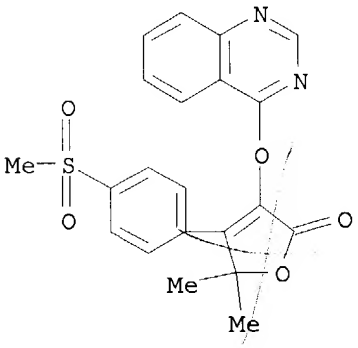
AB The invention encompasses the novel compound of formula [I; Y = (un)substituted CH2, O, S, CO; R2 = SO2Me, (un)substituted SO2NH2, SO2NHCOCF3, SONHNH2, SONHNHCOCF3, P(O)MeNH2, P(O)Me2, C(S)NH2; R2 = NR10R11, SR11, OR11, R11, C1-10 alkenyl, C1-10 alkynyl, (un)substituted C3-10 cycloalkenyl; wherein R11 = C1-10 alkyl, C3-10 cycloalkyl, (un)substituted Ph, naphthyl, or heteroaryl, etc.; R3 = H, C1-10 alkyl, cyano, CH2CN, C1-6 fluoroalkyl, F, CH2OR8, CON(R8)2; R4 = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, O2CR8, SH, SCOR8, OCO2R8, O CON(R8)2, SCON(R8)2, C3-10 cycloalkoxy or cycloalkylthio; or CR3R4 = 3- to

7-membered monocyclic ring optionally containing 1 or 2 heteroatoms selected from O, S, or N; wherein R8 = H, C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, C1-10 alkanoyl,(un)substituted benzoyl; R5 = OR17, SR18, NR17R18, S(O)R18, SO2 R18, SO2N(R17)2, OP(O)(OR16)2; wherein R16 = H, C1-6 alkyl, (un)substituted CH2Ph; R17 = H, R18; R18 = C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, (CH2CH2O)nH (n = 1-6), C1-10 alkanoyl, (un)substituted benzoyl]. They are in vivo converted into the active lactone form, i.e. arylhydroxydihydrofuranone derivs. I (R5 = oxo; Y, R1 - R4 = same as above) with high inhibitory activity against cyclooxygenase-2 and/or a specificity for cyclooxygenase-2 over cyclooxygenase-1 and useful in the treatment of cyclooxygenase-2 mediated diseases, in particular inflammatory diseases. Thus, 3,4-difluorophenoxyacetic acid was cyclocondensed with 2-hydroxy-4'-(methylsulfonyl)isobutyrophenone (preparation given) using 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate and 4-dimethylaminopyridine in CH2Cl2 at room temperature for 18 h to give 3-(3,4-difluorophenoxy)-5,5-dimethyl-4-(4-methylsulfonylphenyl)-5H-furan-2-one, which was reduced by (Me2CHCH2)2AlH in THF at room temperature for 30 min to give I (Y = O, R2 = 3,4-difluorophenoxy, R3 = R4 = Me, R5 = OH). The latter compound showed ED50 of 0.09 mg/kg p.o. for inhibiting the carrageenan-induced paw edema in rats.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylhydroxydihydrofurans as prodrugs for antiinflammatory diarylhydroxydihydrofuranones and selective cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:384238 CAPLUS

DOCUMENT NUMBER: 127:5002

TITLE: (Methylsulfonyl)phenyl-2-(5H)-furanones as cox-2 inhibitors

INVENTOR(S): Belley, Michel; Gauthier, Jacques Y.; Grimm, Erich; Leblanc, Yves; Li, Chung-Sing; Therien, Michel; Black, Cameron; Lau, Cheuk-Kun; Prasit, Petpiboon; et al.

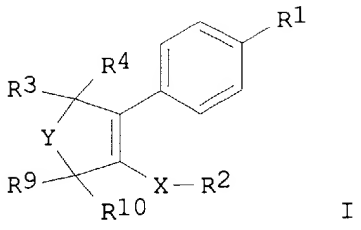
PATENT ASSIGNEE(S): Can.

SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9714691	A1	19970424	WO 1996-CA682		19961009
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
HR 960458	B1	20030831	HR 1996-960458		19961007
CA 2233178	AA	19970424	CA 1996-2233178		19961009
AU 9671236	A1	19970507	AU 1996-71236		19961009
AU 703871	B2	19990401			
EP 863891	A1	19980916	EP 1996-932417		19961009
EP 863891	B1	20021211			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI					
CN 1200119	A	19981125	CN 1996-197609		19961009
JP 11500146	T2	19990106	JP 1996-515371		19961009
BR 9611015	A	19990914	BR 1996-11015		19961009
NZ 319090	A	20000128	NZ 1996-319090		19961009
NZ 332820	A	20000526	NZ 1996-332820		19961009
JP 2001199954	A2	20010724	JP 2000-366579		19961009
IL 123699	A1	20020310	IL 1996-123699		19961009
SK 282639	B6	20021008	SK 1998-450		19961009
JP 3337476	B2	20021021	JP 1997-515371		19961009
AT 229515	E	20021215	AT 1996-932417		19961009
EE 3969	B1	20030217	EE 1998-80		19961009
PT 863891	T	20030331	PT 1996-932417		19961009
ES 2187675	T3	20030616	ES 1996-932417		19961009
ZA 9608609	A	19970414	ZA 1996-8609		19961011
TW 426679	B	20010321	TW 1996-85112463		19961012
NO 9801628	A	19980527	NO 1998-1628		19980408
BG 63391	B1	20011231	BG 1998-102425		19980504
PRIORITY APPLN. INFO.:			US 1995-5371P	P	19951013
			GB 1996-2939	A	19960213
			US 1996-11637P	P	19960214
			GB 1996-5645	A	19960318
			US 1995-5371	P	19951013
			US 1996-11637	P	19960214
			JP 1997-515371	A3	19961009
			NZ 1996-319090	A1	19961009
			WO 1996-CA682	W	19961009

OTHER SOURCE(S): MARPAT 127:5002
GI



I

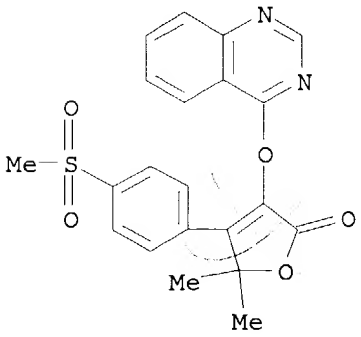
AB The title compds. [I; X = CH2, CHOH, CO, O, S, NR15 with the proviso when R3 and R4 are other than both H, both C1-10 alkyl, or joined together with the carbon to which they are attached to form a saturated monocyclic carbon ring of 3, 4, 5, 6 or 7 atoms, then X is selected from CO, O, S, or NR15; Y = CR11R12, CO, O, S; R11, R12 = H, mono- or disubstituted Ph or mono- or disubstituted benzyl or mono- or disubstituted heteroaryl or mono- or disubstituted heteroarylmethyl wherein the substituents are H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, etc.; R1 = SO2-Me, SO2-NR16R17, SO2-NH-CO-CF3, SONH-NH2, etc.; R2 = H, halo, C1-10 alkyl, mono- or disubstituted Ph or naphthyl wherein the substituents are selected from the group consisting of H, halo, C1-10 alkoxy, C1-10 alkylthio, etc.; R3 = H, C1-10 alkyl, CH2-OR7, CN, CH2CN, C1-6 fluoroalkyl, F, etc.; R4 = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, etc.; R9, R10 = H, C1-7 alkyl, or R9R10 together with the carbon atom they are attached form a carbonyl or thiocarbonyl group; R15 = H, C1-10 alkyl, mono-, di-, or trisubstituted Ph or naphthyl, etc.; R16, R17 = H, C1-10 alkyl, alkanoic acid, alkyl amine, etc.] are prepared Thus, 2-methyl-1-[4-(methylthio)phenyl]-1-propanone (prepared from isobutyryl chloride and thioanisole) was treated with Aliquat 336 to give the 2-hydroxy derivative, which was oxidized to the sulfonyl compound with Oxone, which was reacted with 3,4-difluorophenoxyacetic acid to give I [R1 = SO2-Me, R2 = 3,4-difluorophenyl, R3 = R4 = Me, R9R10 = O, X = Y = O]. In a red paw edema assay (using rats) for its antiinflammatory potency, this had ED50 of 0.14 mg/Kg. The invention also describes pharmaceutical compns. comprising I for treatment of cyclooxygenase-2 mediated diseases.

IT **189955-00-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((methylsulfonyl)phenyl(5H)-furanones as cox-2 inhibitors)

RN 189955-00-8 CAPLUS

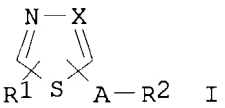
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:708170 CAPLUS
DOCUMENT NUMBER: 125:328719
TITLE: Preparation of thiazoles and thiadiazoles for treatment of thrombocytopenia
INVENTOR(S): Matsuo, Masaaki; Ogino, Takashi; Tsuji, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630370	A2	19961003	WO 1996-JP773	19960326
WO 9630370	A3	19961128		
W: AU, CA, CN, HU, JP, KR, NO, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9602398	A	19961001	ZA 1996-2398	19960326
AU 9650153	A1	19961016	AU 1996-50153	19960326
PRIORITY APPLN. INFO.:			GB 1995-6189	19950327
			GB 1995-11226	19950602
			WO 1996-JP773	19960326

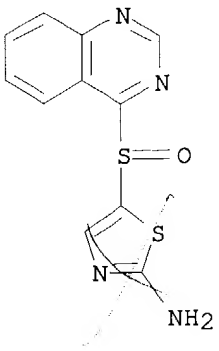
OTHER SOURCE(S): MARPAT 125:328719
GI



I

AB The title compds. [I; R1 = H, halo, NH2, etc.; R2 = N- or S-containing unsatd. heterocyclic group; X = CH, N; A = S(O)m (wherein m = 0-2)], useful for prophylactic or therapeutic treatment of thrombocytopenia, rheumatism, nephritis, tumor or side effects of antitumor agents, were prepared Thus, reaction of 2-amino-5-chlorothiazole.HCl with 2-quinolinethiol in the presence of NaHCO3 in DMF at 110° afforded I [R1 = 2-NH2; AR2 = 5-(2-quinolylthio)-; X = CH] which showed 74% increase in platelet number at 100 mg/kg in male ddY mice.

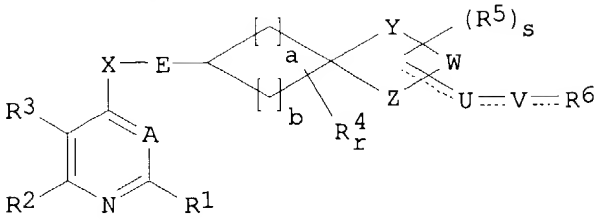
IT **183548-92-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazoles and thiadiazoles for treatment of thrombocytopenia)
RN 183548-92-7 CAPLUS
CN 2-Thiazolamine, 5-(4-quinazolinylsulfinyl)- (9CI) (CA INDEX NAME)



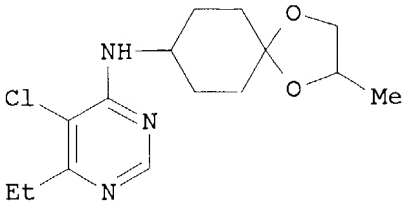
L3 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:353190 CAPLUS
DOCUMENT NUMBER: 125:33670
TITLE: Preparation of spiroalkyl group-containing heterocyclic pesticides and agrochemical fungicides
INVENTOR(S): Schaper, Wolfgang; Preus, Rainer; Braun, Peter; Kern, Manfred; Knauf, Werner; Sachse, Burkhard; Sanft, Ulrich; Waltersdorfer, Anna; Bonin, Werner; et al.
PATENT ASSIGNEE(S): Hoechst Schering AgrEvo GmbH, Germany
SOURCE: Ger. Offen., 70 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4436509	A1	19960418	DE 1994-4436509	19941013
CA 2202459	AA	19960425	CA 1995-2202459	19951005
WO 9611924	A1	19960425	WO 1995-EP3927	19951005
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9538039	A1	19960506	AU 1995-38039	19951005
EP 785934	A1	19970730	EP 1995-935903	19951005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
CN 1161037	A	19971001	CN 1995-195636	19951005
BR 9509308	A	19971104	BR 1995-9308	19951005
HU 77203	A2	19980302	HU 1997-1850	19951005
JP 10507187	T2	19980714	JP 1995-512898	19951005
US 5859009	A	19990112	US 1995-540987	19951011
ZA 9508594	A	19960523	ZA 1995-8594	19951012
PRIORITY APPLN. INFO.:			DE 1994-4436509 A	19941013
			WO 1995-EP3927 W	19951005

OTHER SOURCE(S): MARPAT 125:33670
GI



I



II

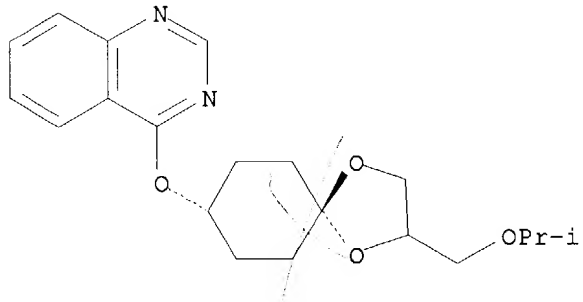
AB The title compds. [I; A = CH, N; E = direct bond, (un)branched alkanediyl; R1 = H, halogen, alkyl, cycloalkyl, etc.; R2, R3 = H, halogen, alkyl, haloalkyl, cycloalkyl, alkoxy, etc.; R4, R5 = halogen, alkyl, haloalkyl, alkoxy, etc.; R6 = alkyl, alkenyl, alkynyl, (un)substituted aryl, (un)substituted heterocyclyl, OH, CO2H, etc.; U = direct bond, O, S, SO, SO2, (un)substituted NH; V = (un)substituted carbonyl derivs., etc.; W = (CH2)n; n = 1-4; X = NH, O, S, SO, SO2; Y, Z = CH2, O, S, SO, SO2; a, b = 0-3; r, s = 0-2] (e.g., II), useful as insecticides, acaricides, nematocides, and agrochem. fungicides, are prepared

IT **177551-06-3P 177551-18-7P 177551-23-4P 177551-35-8P 177551-36-9P 177551-37-0P 177551-38-1P 177551-39-2P 177551-54-1P 177551-59-6P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroalkyl group-containing heterocyclic pesticides and agrochem. fungicides)

RN 177551-06-3 CAPLUS

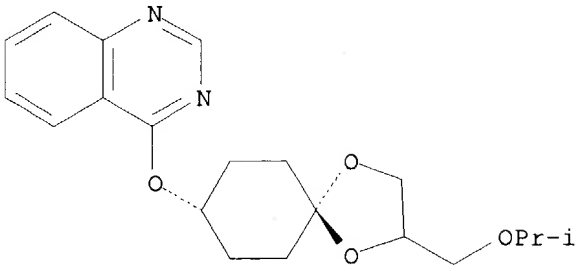
CN Quinazoline, 4-[[2-[(1-methylethoxy)methyl]-1,4-dioxaspiro[4.5]dec-8-yl]oxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



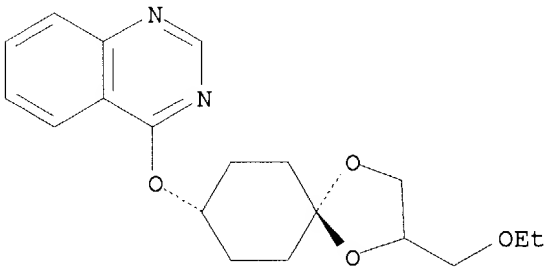
RN 177551-18-7 CAPLUS

CN Quinazoline, 4-[(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-yl)oxy]- (9CI) (CA INDEX NAME)



RN 177551-59-6 CAPLUS
CN Quinazoline, 4-[[2-(ethoxymethyl)-1,4-dioxaspiro[4.5]dec-8-yl]oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

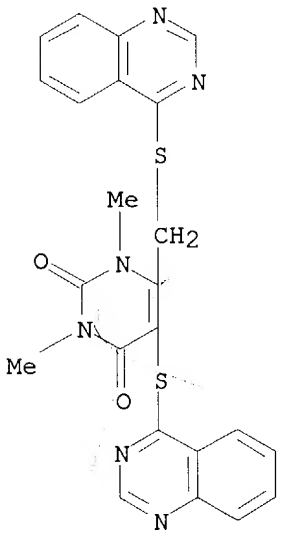


L3 ANSWER 21 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:731257 CAPLUS
DOCUMENT NUMBER: 123:339501
TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions
AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh
CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

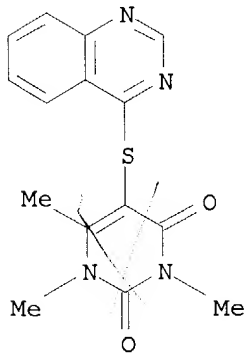
AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-α-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6 -trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2-thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6-arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.

IT **170504-08-2P 170504-11-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)
RN 170504-08-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3-dimethyl-5-(4-quinazolinylthio)-6-[(4-quinazolinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 170504-11-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)

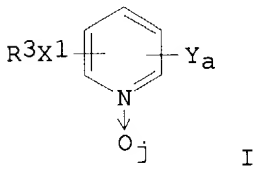


L3 ANSWER 22 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:70632 CAPLUS
DOCUMENT NUMBER: 108:70632
TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield
INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt, Russell James
PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA
SOURCE: PCT Int. Appl., 789 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922
PRIORITY APPLN. INFO.:			US 1986-824389	19860123
			US 1986-939416	19861215
			WO 1987-US240	19870123

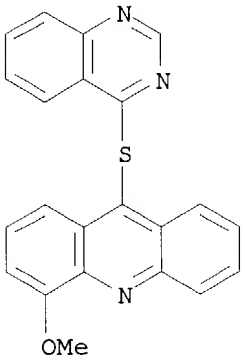
GI



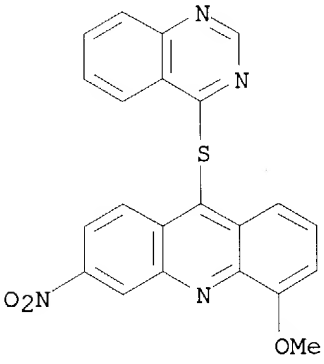
I

AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (aromatic or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A solution of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4-methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in potted bean (Phaseolus vulgaris). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the standard atrazine (108 g/L).

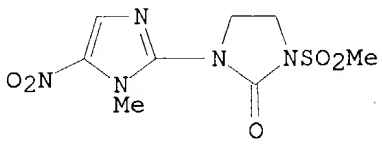
IT **112720-19-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)



RN 102244-10-0 CAPLUS
CN Acridine, 5-methoxy-3-nitro-9-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:603875 CAPLUS
DOCUMENT NUMBER: 101:203875
TITLE: Nitroimidazoles: part XIX - structure-activity relationships
AUTHOR(S): Nagarajan, K.; Arya, V. P.; George, T.; Nair, M. D.; Sudarsanam, V.; Ray, D. K.; Shrivastava, V. B.
CORPORATE SOURCE: Res. Cent., CIBA-GEIGY, Bombay, 400 063, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(4), 342-62
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



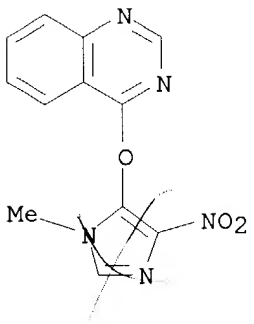
I

AB Treatment of 1-methyl-4-nitro-5-chloroimidazole I with 5-membered lactams, e.g. imidazolidinones, oxazolidinone, and thiazolidinone, and imidazole affords N-imidazolyl derivs., e.g. II. Amino derivs. are similarly obtained. 2-Hydroxypyrazine, 4-hydroxyquinazoline, and 3,4,5-trichlorophenol and I react to form O-derivs., e.g. III, while mercaptans provide the sulfides.

IT **86231-03-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 86231-03-0 CAPLUS

CN Quinazoline, 4-[(1-methyl-4-nitro-1H-imidazol-5-yl)oxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 27 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:582339 CAPLUS

DOCUMENT NUMBER: 97:182339

TITLE: Quinazolines, their preparation and biological activity

AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt

CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.

SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(7), 907-11
CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal

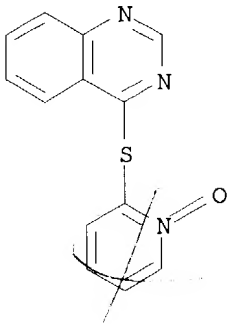
LANGUAGE: German

AB 4-Hydroxyquinazolines (I) were prepared by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepared by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A number of the quinazolines showed fungicidal activity.

IT **83529-97-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83529-97-9 CAPLUS

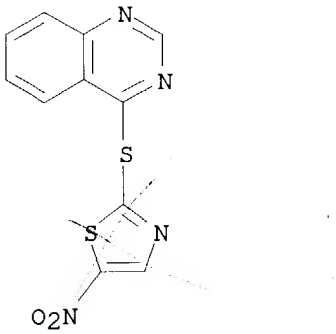
CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:4286 CAPLUS
DOCUMENT NUMBER: 78:4286
TITLE: 5-Nitro-2-thiazolyl sulfides
INVENTOR(S): Hughes, Peter Graham; Verge, John Pomfret
PATENT ASSIGNEE(S): Lilly Industries Ltd.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2213558	A	19721005	DE 1972-2213558	19720321
GB 1354296	A	19740522	GB 1971-8252	19710330
US 3870725	A	19750311	US 1972-234376	19720313
CH 545812	A	19740215	CH 1972-4021	19720316
IT 965768	A	19740211	IT 1972-49259	19720327
FR 2132133	A5	19721117	FR 1972-10848	19720328
FR 2132133	B1	19750620		
PRIORITY APPLN. INFO.:			GB 1971-8252	19710330
			GB 1971-39106	19710820

GI For diagram(s), see printed CA Issue.
AB Forty-five title compds. (I, R = substituted 1.3,4-thiadiazol-k-yl, 5-thioxo-1.3,4-chiadiazol-2-yl, 1.3,4-oxadiazol-k-yl, 1.2,4-triazol-1(or 5)-yl, 1.2,3,4-tetrazol-5-yl, 1.2,4-triazin-1-yl, 4-quinazolinyl, 2-pyrimidinyl, 2(or 4)-pyridyl, or 2-quinolyl), useful as fungicides, were prepared by reaction of the bromo derivative II with RSX (X = H, K, Na).
IT **40045-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40045-66-7 CAPLUS
CN Quinazoline, 4-[(5-nitro-2-thiazolyl)thio]- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:413319 CAPLUS
DOCUMENT NUMBER: 71:13319
TITLE: Glycosides and heterocycles. XXXV. Glycosides of hydroxy- and mercaptoquinazolines
AUTHOR(S): Wagner, Guenther; Suess, F.
CORPORATE SOURCE: Pharm, Inst., Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
SOURCE: Pharmazie (1969), 24(1), 35-8
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 4-Hydroxyquinazoline (I) Ag salt (7.59 g.) was mixed with 300 ml. C6H6, 250 ml. solvent was distilled, a solution of 4.11 g. tetra-O-acetyl-α-D-glucopyranosyl bromide (II) added, the mixture refluxed 2 hrs. and filtered, the filtrate evaporated, and the residue purified by thin-layer chromatog. on SiO2 in the solvent system 3:2 AcOEt-cyclohexane to yield 40% 4-(tetra-O-acetyl-β-D-glucopyranosyloxy)quinazoline (III) (Q = tetra-O-acetyl-β-D-glucopyranosyl throughout this abstract), m. 150-2° (MeOH), [α]20D -22.5° (c 2.5, CHCl3). I Hg salt (1.62 g.) and 2.71 g. II refluxed for 2 hrs. in 100 ml. MePh and filtered, the filtrate washed with Na2S2O3 and 5% NaOH and evaporated gave, after addition of MeOH, 50% 3-(tetra-O-acetyl-β-D-glucopyranoysl)-4-quinazolinone (IVa), m. 192-4° (70% MeOH), [α]20D 0° (CHCl3). III (0.52 g.) and 2.02 g. HgBr2 refluxed 2 hrs. in 50 ml. anhydrous PhMe afforded 80% IVa. IVa deacetylated by heating in 0.05M MeONa gave 70% 3-β-D-glucopyranosyl-4-quinazolinone (IVb) (G = β-D-glucopyranosyl throughout this abstract), m. 257.5-8.5° (PrOH), [α]20D 37.3° (c 2.3, HCONMe2). A solution of 1.82 g. 2,3,4,6-tetra-O-acetyl-1-thio-β-D-glucopyranose and 0.82 g. 4-chloroquinazoline in 16 ml. Me2CO was treated with 0.28 g. KOH in 4 ml. H2O, agitated 25 min., and diluted with 100 ml. H2O to yield 84% 4-(tetra-O-acetyl-β-D-glucopyranosylthio)quinazoline (Va), m. 95-6° (MeOH), [α]20D 12° (c 3, CHCl3). 2-Chloroquinazoline gave similarly 40% 2-(tetra-O-acetyl-β-D-glucopyranosylthio)quinazoline (VIa), m. 143-5° (30% MeOH), [α]20D 13° (c 3, CHCl3). A mixture of 0.5 g. IVa and 1.2 g. P4S10 in 5 ml. anhydrous C5H5N heated 5 hrs. at 130° and 10 hrs. at 160°, cooled, extracted repeatedly with CHCl3, the combined exts. washed with 5% NaOH, evaporated, and the residue treated with MeOH, gave 70% 3-(tetra-O-acetyl-β-D-glucopyranosyl)-4-quinazolinethione (VII), m. 174.5-5.5° (50% MeOH), [α]20D 7° (c 2.2, CHCl3). The reaction of 4-quinazolinethiol and II in aqueous Me2CO in the presence of NaOH yielded 56% Va and 8% VII. Deacetylation of Va with MeOH gave 85% 3-β-D-glucopyranosyl-4-quinazolinethione (Vb), m. 218-20°

(PrOH), [α]20D -19° (c 3.4, HCONMe2). The reaction of 2-hydroxyquinazoline and II in aqueous Me2CO in the presence of NaOH followed by preparative thin-layer chromatog. on SiO2 in 3:2 C6H6-EtOAc gave 5% 2-(tetra-O-acetyl-β-D-glucopyranosyloxy)quinazoline, m. 119-21° (35% MeOH), [α]20D 8° (c 2.5, CHCl3). 2-Quinazolinethiol reacted with II in aqueous Me2CO afforded 38% VIa. Deacetylation of VIa with MeONa gave 60% 2-(β-D-glucopyranosylthio)quinazoline (VIb), m. 113-15° (PrOH), [α]20D. -96.4° (c 2, HCONMe2). Uv spectrum of IVa was very similar to that of 3-methyl-4-quinazoline and differed from the spectrum of 1-methyl-4-quinazoline. This confirmed the structure of IVa.

IT **24558-70-1P 24577-13-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
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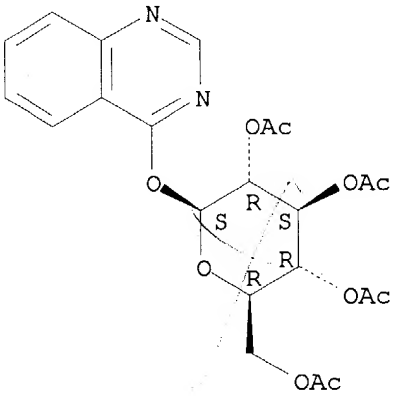
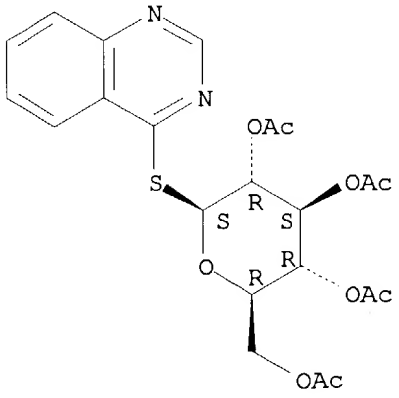
CN Quinazoline, 4-(β-D-glucopyranosyloxy)-, 2',3',4',6'-tetraacetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 24577-13-7 CAPLUS
CN Quinazoline, 4-(β-D-glucopyranosylthio)-, 2',3',4',6'-tetraacetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.



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DICTIONARY FILE UPDATES:   1 SEP 2004  HIGHEST RN 737690-81-2

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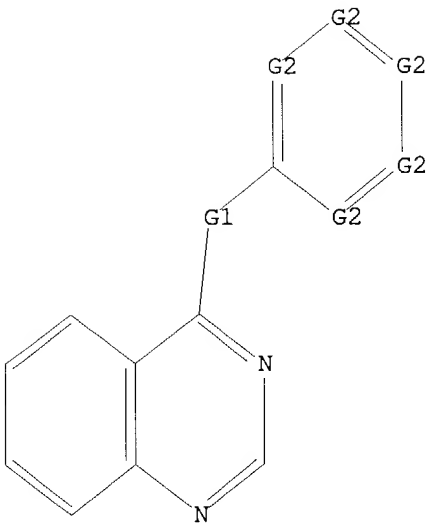

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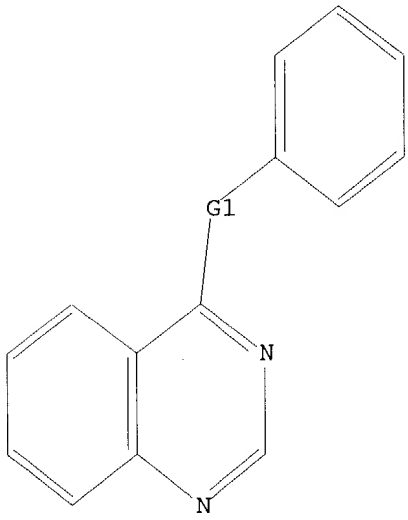

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09/~~913,054~~

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FILE COVERS 1907 - 3 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 2 Sep 2004 (20040902/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:70632 CAPLUS
DOCUMENT NUMBER: 108:70632
TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield
INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt, Russell James
PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA
SOURCE: PCT Int. Appl., 789 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
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BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922
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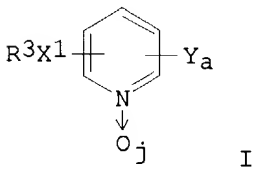


I

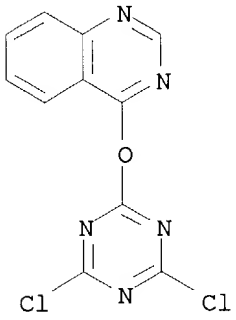
AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (aromatic or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A solution of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4-methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in

potted bean (Phaseolus vulgaris). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the standard atrazine (108 g/L).

IT **112720-19-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as plant antitranspirant)

RN 112720-19-1 CAPLUS

CN Quinazoline, 4-[(4,6-dichloro-1,3,5-triazin-2-yl)oxy]- (9CI) (CA INDEX NAME)



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